```
Connecting via Winsock to STN


Welcome to STN International!  Enter x:x

LOGINID:SSSPTA1600RXA

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  FEB 27   New STN AnaVist pricing effective March 1, 2006
 NEWS  4  MAY 10   CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS  5  MAY 11   KOREAPAT updates resume
 NEWS  6  MAY 19   Derwent World Patents Index to be reloaded and enhanced
 NEWS  7  MAY 30   IPC 8 Rolled-up Core codes added to CA/CAplus and
                   USPATFULL/USPAT2
 NEWS  8  MAY 30   The F-Term thesaurus is now available in CA/CAplus
 NEWS  9  JUN 02   The first reclassification of IPC codes now complete in
                   INPADOC
 NEWS 10  JUN 26   TULSA/TULSA2 reloaded and enhanced with new search and
                   and display fields
 NEWS 11  JUN 28   Price changes in full-text patent databases EPFULL and PCTFULL
 NEWS 12  JUl 11   CHEMSAFE reloaded and enhanced
 NEWS 13  JUl 14   FSTA enhanced with Japanese patents
 NEWS 14  JUl 19   Coverage of Research Disclosure reinstated in DWPI
 NEWS 15  AUG 09   INSPEC enhanced with 1898-1968 archive
 NEWS 16  AUG 28   ADISCTI Reloaded and Enhanced
 NEWS 17  AUG 30   CA(SM)/CAplus(SM) Austrian patent law changes
 NEWS 18  SEP 11   CA/CAplus enhanced with more pre-1907 records

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:58:44 ON 21 SEP 2006

=> fil reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21
```

FILE 'REGISTRY' ENTERED AT 07:58:52 ON 21 SEP 2006

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 SEP 2006 HIGHEST RN 908067-83-4
DICTIONARY FILE UPDATES: 20 SEP 2006 HIGHEST RN 908067-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Effective September 24, 2006, Concord 3D coordinates will no longer
be available. Please contact CAS Customer Care
(http://www.cas.org/supp.html) if you have a need for 3D coordinates.

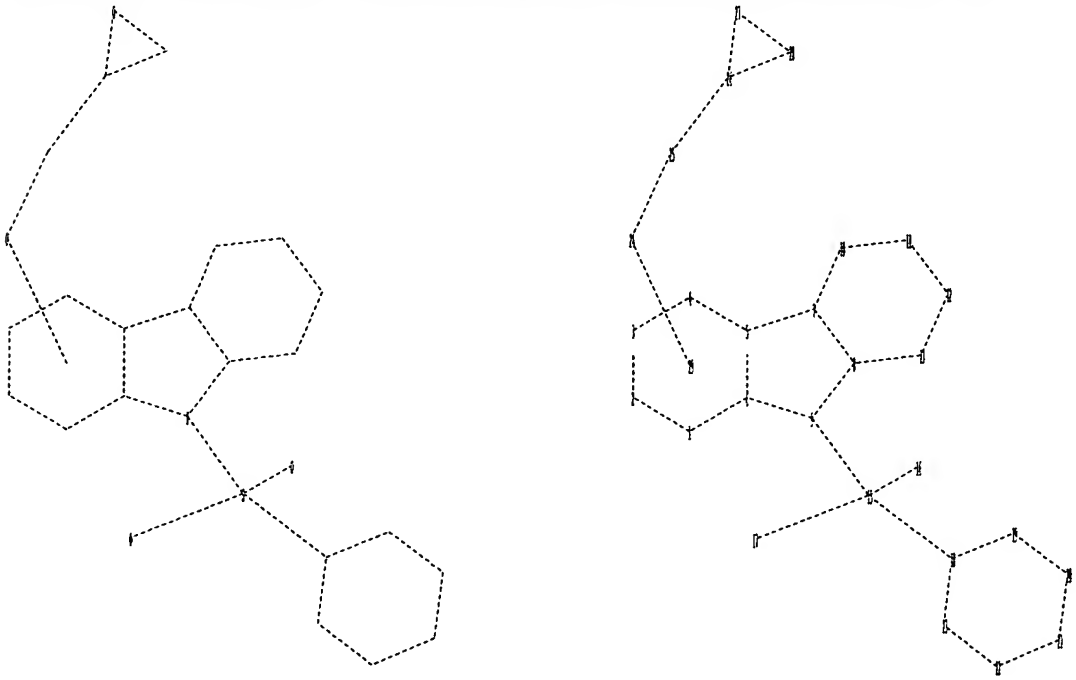
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10763296.str



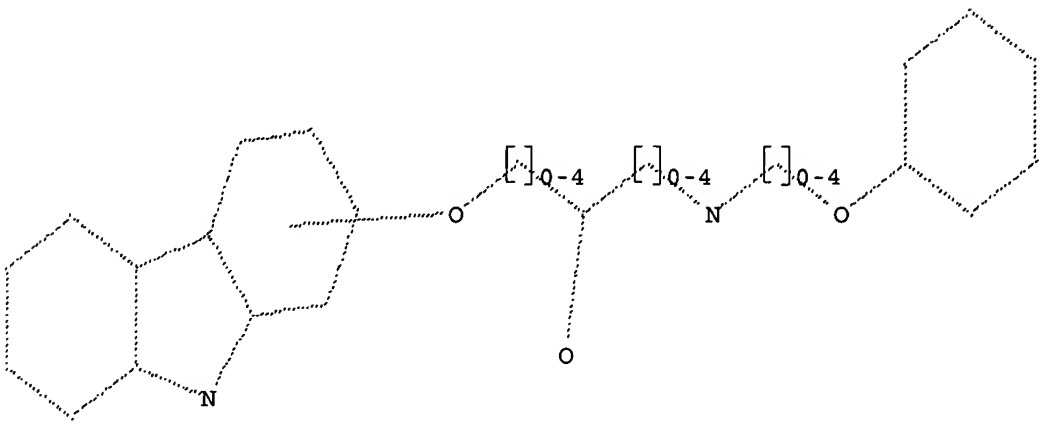
chain nodes :
15 16 17 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 18 19 20 21 22 23 26 27 28
chain bonds :
9-15 15-16 15-17 15-18 24-25 25-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
18-19 18-23 19-20 20-21 21-22 22-23 26-27 26-28 27-28

exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 9-15 10-11 11-12
12-13 15-16 15-17 15-18 18-19 18-23 19-20 20-21 21-22 22-23 24-25 25-26
26-27 26-28 27-28
isolated ring systems :
containing 1 : 26 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 07:59:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 698 TO ITERATE

100.0% PROCESSED 698 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 12375 TO 15545
PROJECTED ANSWERS: 6 TO 265

L2 6 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 07:59:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13300 TO ITERATE

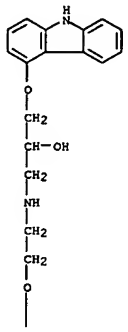
100.0% PROCESSED 13300 ITERATIONS 228 ANSWERS
SEARCH TIME: 00.00.01

L3 228 SEA SSS FUL L1

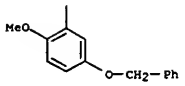
```
=> s l3 and caplus/lc
      52074487 CAPLUS/LC
L4          215 L3 AND CAPLUS/LC

=> s l3 not l4
L5           13 L3 NOT L4

=> d l5 1-13
```

L5 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 887353-00-6 REGISTRY
ED Entered STN: 11 Jun 2006
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-[2-methoxy-5-(phenylmethoxy)phenoxy]ethyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H32 N2 O5
SR Chemical Library

PAGE 1-A



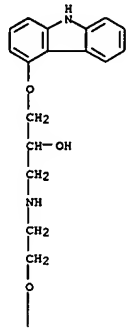
PAGE 2-A



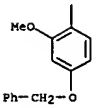
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 887352-95-6 REGISTRY
ED Entered STN: 11 Jun 2006
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-[2-methoxy-4-(phenylmethoxy)phenoxy]ethyl]amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H32 N2 O5
SR Chemical Library

PAGE 1-A



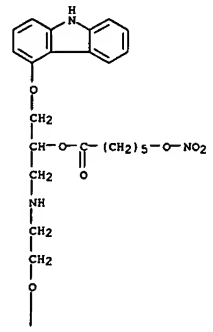
PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 853992-39-9 REGISTRY
ED Entered STN: 07 Jul 2005
CN Hexanoic acid, 6-(nitrooxy)-, 2-(9H-carbazol-4-yloxy)-1-[[[2-(2-methoxyphenoxy)ethyl]amino]methyl]ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C30 H35 N3 O8
CI COM
SR CA

PAGE 1-A

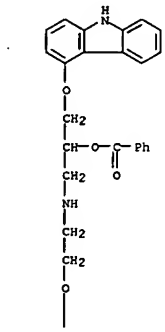


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 789439-82-3 REGISTRY
ED Entered STN: 26 Nov 2004
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, benzoate (ester) (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C31 H30 N2 O5
CI COM
SR CA

PAGE 1-A

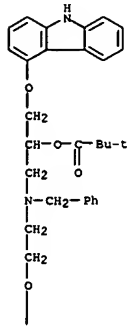


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 767236-95-3 REGISTRY
ED Entered STN: 22 Oct 2004
CN Propanoic acid, 2,2-dimethyl-, 2-(9H-carbazol-4-yloxy)-1-[[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]methyl]ethyl ester (9CI) (CA INDEX NAME)
MF C36 H40 N2 O5
CI COM
SR CA

PAGE 1-A

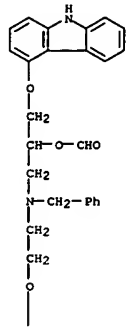


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 763876-40-0 REGISTRY
ED Entered STN: 15 Oct 2004
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]-, formate (ester) (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C32 H32 N2 O5
CI COM
SR CA

PAGE 1-A

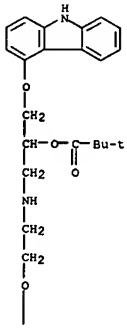


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 754135-65-4 REGISTRY
ED Entered STN: 29 Sep 2004
CN Propanoic acid, 2,2-dimethyl-, 2-(9H-carbazol-4-yloxy)-1-[[[2-(2-methoxyphenoxy)ethyl]amino]methyl]ethyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H34 N2 O5
CI COM
SR CA

PAGE 1-A

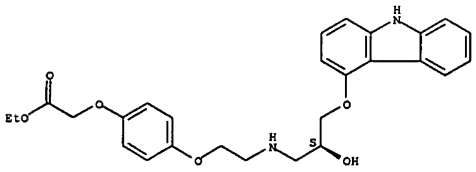


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 750553-84-5 REGISTRY
ED Entered STN: 24 Sep 2004
CN Acetic acid, [4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]phenoxy]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H30 N2 O6
CI COM
SR CA

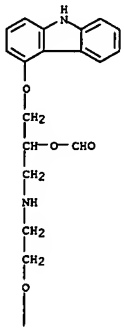
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 748082-26-0 REGISTRY
ED Entered STN: 19 Sep 2004
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-,
formate (ester) (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C25 H26 N2 O5
CI COM
SR CA

PAGE 1-A

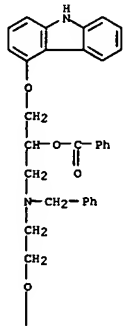


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 736881-10-0 REGISTRY
ED Entered STN: 01 Sep 2004
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm
ethyl)amino]-, benzoate (ester) (9CI) (CA INDEX NAME)
MF C38 H36 N2 O5
CI COM
SR CA

PAGE 1-A

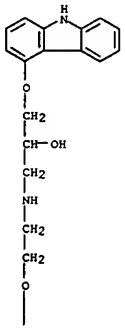


PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 216982-49-9 REGISTRY
ED Entered STN: 13 Jan 1999
CN 9H-Carbazolol,
4-[(2R)-2-hydroxy-3-[[2-(2-methoxyphenoxy)ethyl]amino]propo
xy]- (9CI) (CA INDEX NAME)
MF C24 H26 N2 O5
CI IDS, COM
SR CA

PAGE 1-A

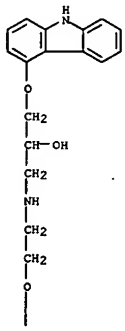


PAGE 2-A

D1—OH

L5 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 216982-20-6 REGISTRY
ED Entered STN: 13 Jan 1999
CN 9H-Carbazolol,
4-[(2S)-2-hydroxy-3-[[2-(2-methoxyphenoxy)ethyl]amino]propo
xy]- (9CI) (CA INDEX NAME)
MF C24 H26 N2 O5
CI IDS, COM
SR CA

PAGE 1-A

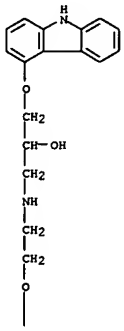


PAGE 2-A

D1—OH

L5 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2006 ACS on STN
RN 216982-15-9 REGISTRY
ED Entered STN: 13 Jan 1999
CN 9H-Carbazolol, 4-[2-hydroxy-3-[[2-(2-methoxyphenoxy)ethyl]amino]propoxy]-
(9CI) (CA INDEX NAME)
DR 216982-23-9
MF C24 H26 N2 O5
CI IDS, COM
SR CA

PAGE 1-A



PAGE 2-A

D1—OH

```
=> fil caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       196.40     196.61

FILE 'CAPLUS' ENTERED AT 07:59:45 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 21 Sep 2006  VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006  (20060920/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d his

     (FILE 'HOME' ENTERED AT 07:58:44 ON 21 SEP 2006)

     FILE 'REGISTRY' ENTERED AT 07:58:52 ON 21 SEP 2006
L1             STRUCTURE UPLOADED
L2               6 S L1
L3             228 S L1 FULL
L4             215 S L3 AND CAPLUS/LC
L5              13 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 07:59:45 ON 21 SEP 2006

=> s l4
L6         1346 L4

=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.46     197.07

FILE 'REGISTRY' ENTERED AT 07:59:52 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   20 SEP 2006  HIGHEST RN 908067-83-4
DICTIONARY FILE UPDATES:  20 SEP 2006  HIGHEST RN 908067-83-4
```

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Effective September 24, 2006, Concord 3D coordinates will no longer be available. Please contact CAS Customer Care (http://www.cas.org/supp.html) if you have a need for 3D coordinates.

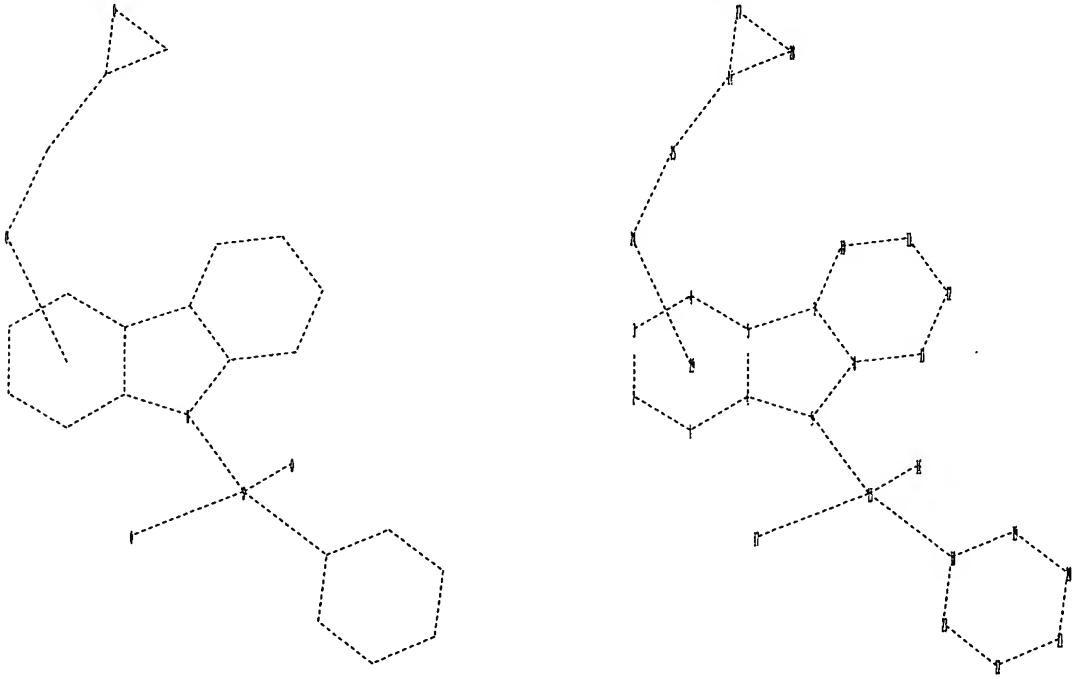
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10763296.str



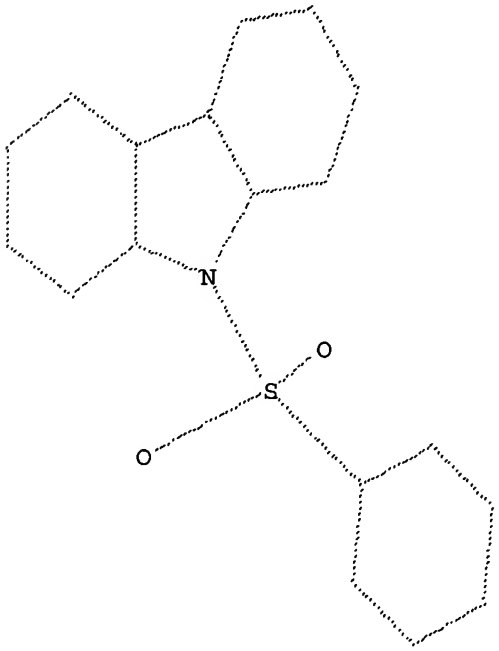
chain nodes :
15 16 17 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 18 19 20 21 22 23 26 27 28
chain bonds :
9-15 15-16 15-17 15-18 24-25 25-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13 18-19 18-23 19-20 20-21 21-22 22-23 26-27 26-28 27-28
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 9-15 10-11 11-12 12-13 15-16 15-17 15-18 18-19 18-23 19-20 20-21 21-22 22-23 24-25 25-26 26-27 26-28 27-28

isolated ring systems :
containing 1 : 26 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:CLASS

L7 STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 08:01:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1094 TO ITERATE

100.0% PROCESSED 1094 ITERATIONS 30 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 19896 TO 23864
PROJECTED ANSWERS: 272 TO 928

L8 30 SEA SSS SAM L7

```
=> s l7 full
FULL SEARCH INITIATED 08:01:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    22673 TO ITERATE

100.0% PROCESSED    22673 ITERATIONS                                 541 ANSWERS
SEARCH TIME: 00.00.01

L9          541 SEA SSS FUL L7

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     167.38     364.45

FILE 'CAPLUS' ENTERED AT 08:01:14 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 21 Sep 2006  VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006  (20060920/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d his

     (FILE 'HOME' ENTERED AT 07:58:44 ON 21 SEP 2006)

     FILE 'REGISTRY' ENTERED AT 07:58:52 ON 21 SEP 2006
L1             STRUCTURE UPLOADED
L2               6 S L1
L3             228 S L1 FULL
L4             215 S L3 AND CAPLUS/LC
L5              13 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 07:59:45 ON 21 SEP 2006
L6            1346 S L4

     FILE 'REGISTRY' ENTERED AT 07:59:52 ON 21 SEP 2006
L7             STRUCTURE UPLOADED
L8              30 S L7
L9             541 S L7 FULL

     FILE 'CAPLUS' ENTERED AT 08:01:14 ON 21 SEP 2006
```

```
=> s l9
L10         174 L9

=> s l6 and l10
L11           1 L6 AND L10

=> d ibib abs hitstr
```

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:556143 CAPLUS
DOCUMENT NUMBER: 137:125080
TITLE: Process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temperatures and catalyst loading
INVENTOR(S): Scalone, Michelangelo; Zeibig, Thomas Albert
PATENT ASSIGNEE(S): Hoffmann-LaRoche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099223	A1	20020725	US 2002-54462	20020122
US 6777559	B2	20040817		
CA 2434408	AA	20020801	CA 2002-2434408	20020122
WO 2002059089	A2	20020801	WO 2002-EP583	20020122
WO 2002059089	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1355880	A2	20031029	EP 2002-716673	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519465	T2	20040702	JP 2002-559391	20020122
US 2004127723	A1	20040701	US 2004-763296	20040122

PRIORITY APPLN. INFO.:
EP 2001-101584 A 20010125
US 2002-54462 A3 20020122
WO 2002-EP583 W 20020122

OTHER SOURCE(S): CASREACT 137:125080; MARPAT 137:125080

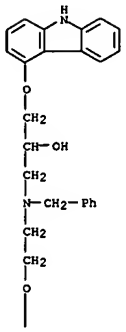
AB A process for the preparation heterocyclic indene analogs, especially with the preparation of 4-hydroxycarbazole or N-protected 4-hydroxycarbazole, involves cyclocarbonylation followed by saponification This process avoids high temps. and high catalyst loadings.

IT 72955-94-3P 444105-38-8P 444105-40-2P
444105-41-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)

RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

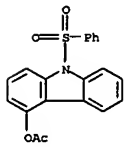
L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



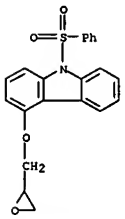
PAGE 2-A

RN 444105-38-8 CAPLUS
CN 9H-Carbazol-4-ol, 9-(phenylsulfonyl)-, acetate (ester) (9CI) (CA INDEX NAME)



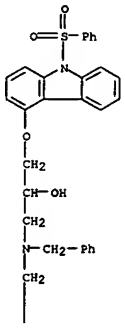
RN 444105-40-2 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



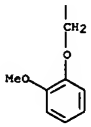
RN 444105-41-3 CAPLUS
CN 9H-Carbazole, 4-[2-hydroxy-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]propoxy]-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



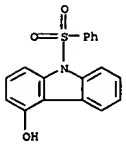
L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A



IT 444105-39-9P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection; process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)

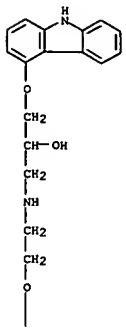
RN 444105-39-9 CAPLUS
CN 9H-Carbazol-4-ol, 9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); PREP (Preparation)
(process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)

RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

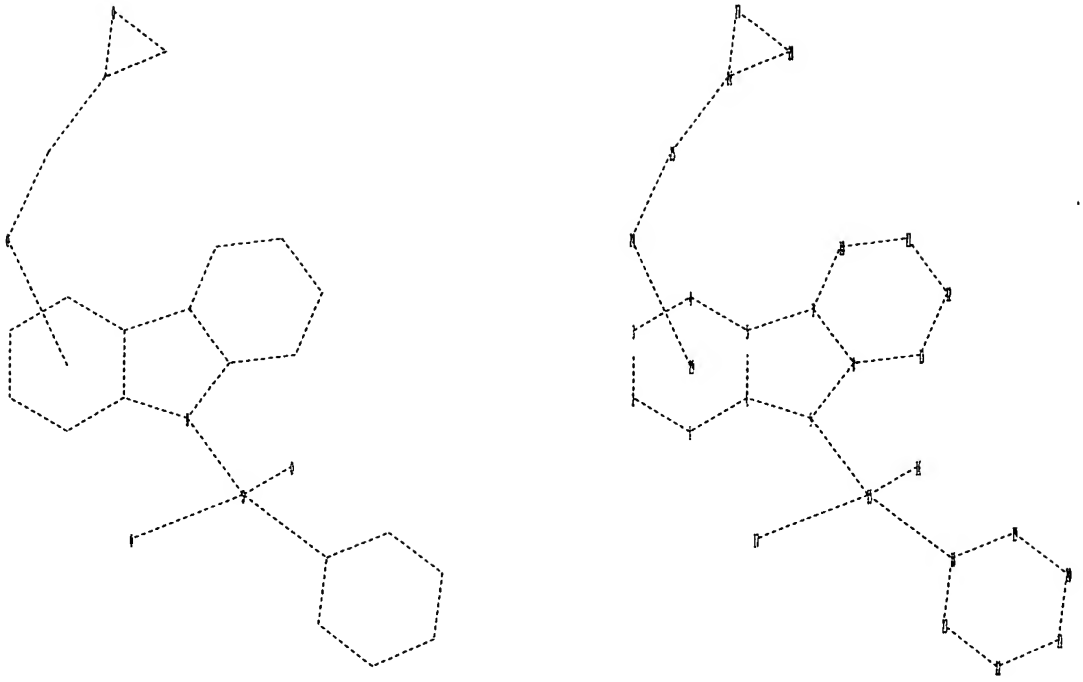


PAGE 2-A

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

```
=> fil reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                          ENTRY    SESSION
FULL ESTIMATED COST                                         6.49     370.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                          ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -0.75      -0.75

FILE 'REGISTRY' ENTERED AT 08:02:52 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   20 SEP 2006  HIGHEST RN 908067-83-4
DICTIONARY FILE UPDATES:  20 SEP 2006  HIGHEST RN 908067-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Effective September 24, 2006, Concord 3D coordinates will no longer
be available.  Please contact CAS Customer Care
(http://www.cas.org/supp.html) if you have a need for 3D coordinates.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10763296.str
```



chain nodes :
15 16 17 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 18 19 20 21 22 23 26 27 28
chain bonds :
9-15 15-16 15-17 15-18 24-25 25-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
18-19 18-23 19-20 20-21 21-22 22-23 26-27 26-28 27-28
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 9-15 10-11 11-12
12-13 15-16 15-17 15-18 18-19 18-23 19-20 20-21 21-22 22-23 24-25 25-26
26-27 26-28 27-28
isolated ring systems :
containing 1 : 26 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom
21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:CLASS

L12 STRUCTURE UPLOADED

=> d
L12 HAS NO ANSWERS
L12 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

```
=> s l12
SAMPLE SEARCH INITIATED 08:03:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       37 TO ITERATE

100.0% PROCESSED       37 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          376 TO     1104
PROJECTED ANSWERS:               0 TO        0

L13           0 SEA SSS SAM L12

=> s l12 full
FULL SEARCH INITIATED 08:03:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      860 TO ITERATE

100.0% PROCESSED      860 ITERATIONS                                  1 ANSWERS
SEARCH TIME: 00.00.01

L14           1 SEA SSS FUL L12

=> s l14 and caplus/lc
      52074487 CAPLUS/LC
L15           1 L14 AND CAPLUS/LC

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.14     543.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -0.75

FILE 'CAPLUS' ENTERED AT 08:04:00 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 21 Sep 2006  VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006  (20060920/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:
```

http://www.cas.org/infopolicy.html

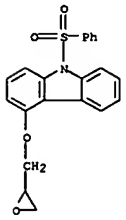
```
=> s l15
L16           1 L15

=> d ibib abs hitstr
```

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:556143 CAPLUS
DOCUMENT NUMBER: 137:125080
TITLE: Process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temperatures and catalyst loading
INVENTOR(S): Scalone, Michelangelo; Zeibig, Thomas Albert
PATENT ASSIGNEE(S): Hoffmann-LaRoche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099223	A1	20020725	US 2002-54462	20020122
US 6777559	B2	20040817		
CA 2434408	AA	20020801	CA 2002-2434408	20020122
WO 2002059089	A2	20020801	WO 2002-EP583	20020122
WO 2002059089	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1355880	A2	20031029	EP 2002-716673	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519465	T2	20040702	JP 2002-559391	20020122
US 2004127723	A1	20040701	US 2004-763296	20040122
PRIORITY APPLN. INFO.:			EP 2001-101584 A	20010125
			US 2002-54462 A3	20020122
			WO 2002-EP583 W	20020122

OTHER SOURCE(S): CASREACT 137:125080; MARPAT 137:125080
AB A process for the preparation heterocyclic indene analogs, especially with the preparation of 4-hydroxycarbazole or N-protected 4-hydroxycarbazole, involves cyclocarbonylation followed by saponification This process avoids high temps. and high catalyst loadings.
IT 444105-40-2P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)
RN 444105-40-2 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    5.57     548.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -0.75      -1.50

STN INTERNATIONAL LOGOFF AT 08:04:26 ON 21 SEP 2006
```

```
Connecting via Winsock to STN


Welcome to STN International!  Enter x:x

LOGINID:SSSPTA1600RXA

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  FEB 27   New STN AnaVist pricing effective March 1, 2006
 NEWS  4  MAY 10   CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS  5  MAY 11   KOREAPAT updates resume
 NEWS  6  MAY 19   Derwent World Patents Index to be reloaded and enhanced
 NEWS  7  MAY 30   IPC 8 Rolled-up Core codes added to CA/CAplus and
                   USPATFULL/USPAT2
 NEWS  8  MAY 30   The F-Term thesaurus is now available in CA/CAplus
 NEWS  9  JUN 02   The first reclassification of IPC codes now complete in
                   INPADOC
 NEWS 10  JUN 26   TULSA/TULSA2 reloaded and enhanced with new search and
                   and display fields
 NEWS 11  JUN 28   Price changes in full-text patent databases EPFULL and PCTFULL
 NEWS 12  JUl 11   CHEMSAFE reloaded and enhanced
 NEWS 13  JUl 14   FSTA enhanced with Japanese patents
 NEWS 14  JUl 19   Coverage of Research Disclosure reinstated in DWPI
 NEWS 15  AUG 09   INSPEC enhanced with 1898-1968 archive
 NEWS 16  AUG 28   ADISCTI Reloaded and Enhanced
 NEWS 17  AUG 30   CA(SM)/CAplus(SM) Austrian patent law changes
 NEWS 18  SEP 11   CA/CAplus enhanced with more pre-1907 records

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
  agreement.  Please note that this agreement limits use to scientific
  research.  Use for software development or design or implementation
  of commercial gateways or other similar uses is prohibited and may
  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:10:38 ON 21 SEP 2006

=> fil reg
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21
```

FILE 'REGISTRY' ENTERED AT 08:10:58 ON 21 SEP 2006

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 20 SEP 2006 HIGHEST RN 908067-83-4
DICTIONARY FILE UPDATES: 20 SEP 2006 HIGHEST RN 908067-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Effective September 24, 2006, Concord 3D coordinates will no longer
be available. Please contact CAS Customer Care
(http://www.cas.org/supp.html) if you have a need for 3D coordinates.

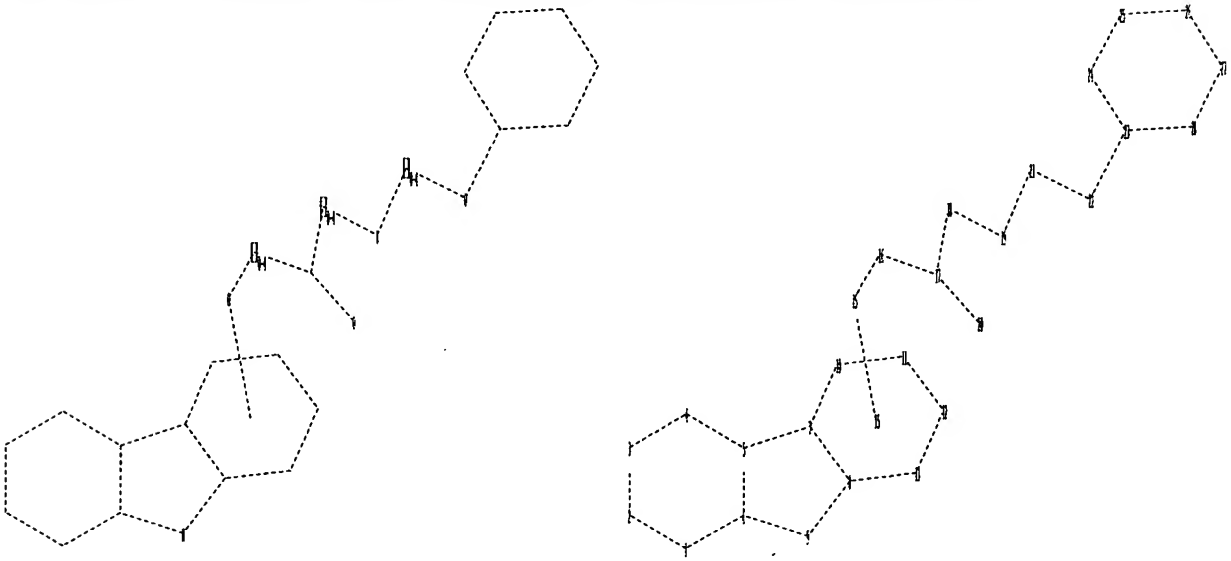
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10763296.str



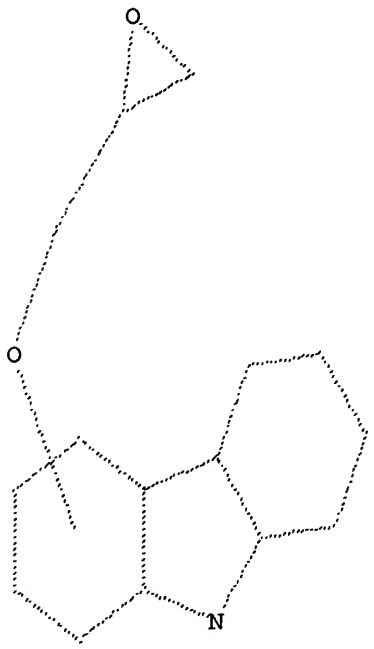
chain nodes :
15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 23 24 25 26 27 28
chain bonds :
15-16 16-17 17-18 17-20 18-19 19-21 21-22 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
23-24 23-28 24-25 25-26 26-27 27-28
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
15-16 16-17 17-18 17-20 18-19 19-21 21-22 22-23 23-24 23-28 24-25 25-26
26-27 27-28

isolated ring systems :
containing 1 : 23 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
35:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 08:11:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

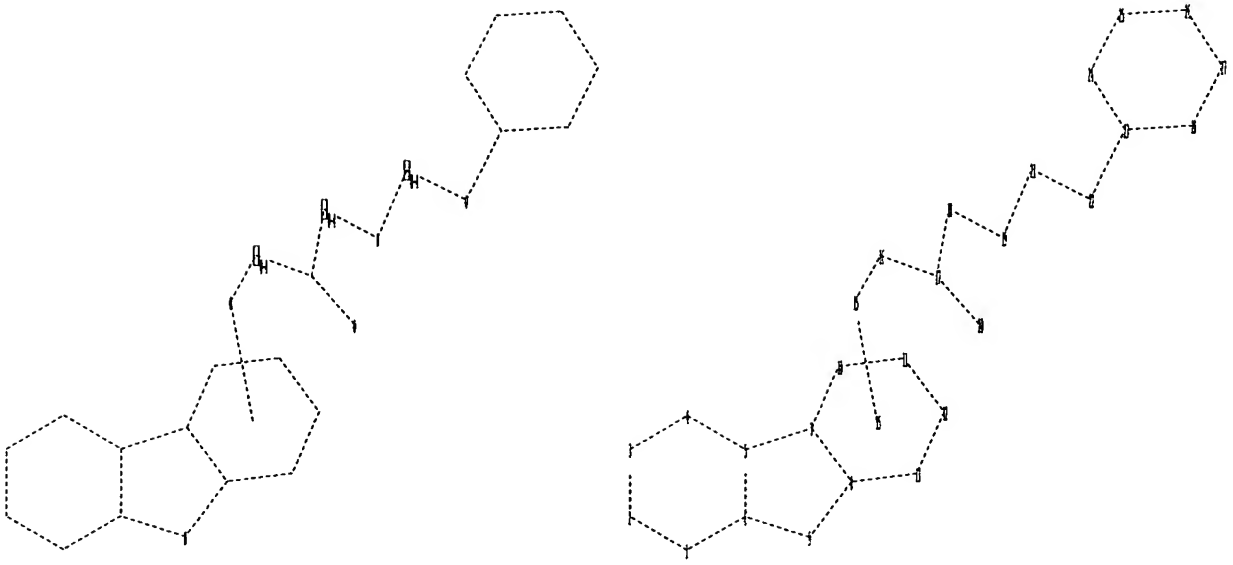
100.0% PROCESSED 10 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 11 TO 389
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:11:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 151 TO ITERATE

```
100.0% PROCESSED      151 ITERATIONS                          26 ANSWERS
SEARCH TIME: 00.00.01

L3           26 SEA SSS FUL L1

=> s l3 and caplus/lc
      52074487 CAPLUS/LC
L4           26 L3 AND CAPLUS/LC

=> fil calpus
'CALPUS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available.  If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               171.70     171.91

FILE 'CAPLUS' ENTERED AT 08:11:34 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 21 Sep 2006  VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006  (20060920/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l4
L5           47 L4

=>
Uploading C:\Program Files\Stnexp\Queries\QUERIES\10763296.str
```



chain nodes :
15 16 17 18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 23 24 25 26 27 28
chain bonds :
15-16 16-17 17-18 17-20 18-19 19-21 21-22 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
23-24 23-28 24-25 25-26 26-27 27-28
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
15-16 16-17 17-18 17-20 18-19 19-21 21-22 22-23 23-24 23-28 24-25 25-26
26-27 27-28
isolated ring systems :
containing 1 : 23 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
35:CLASS

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l6
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

```
SAMPLE SEARCH INITIATED 08:13:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      698 TO ITERATE

100.0% PROCESSED      698 ITERATIONS                                  6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        12375 TO    15545
PROJECTED ANSWERS:               6 TO      265

L7            6 SEA SSS SAM L6


L8           16 L7

=> s l6 full
   REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.




FULL SEARCH INITIATED 08:13:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    13300 TO ITERATE

100.0% PROCESSED    13300 ITERATIONS                             228 ANSWERS
SEARCH TIME: 00.00.01

L9           228 SEA SSS FUL L6


L10         1346 L9

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.46     342.05

FILE 'CAPLUS' ENTERED AT 08:14:01 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)


Copyright of the articles to which records in this database refer is
held by the publishers listed in the PUBLISHER (PB) field (available
for records published or updated in Chemical Abstracts after December
26, 1996), unless otherwise indicated in the original publications.
The CA Lexicon is the copyrighted intellectual property of the
American Chemical Society and is provided to assist you in searching
databases on STN.  Any dissemination, distribution, copying, or storing
of this information, without the prior written consent of CAS, is
strictly prohibited.

FILE COVERS 1907 - 21 Sep 2006  VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006  (20060920/ED)
```

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

```
=> s l10
L11       1346 L9

=> d his

     (FILE 'HOME' ENTERED AT 08:10:38 ON 21 SEP 2006)

     FILE 'REGISTRY' ENTERED AT 08:10:58 ON 21 SEP 2006
L1              STRUCTURE UPLOADED
L2            1 S L1
L3           26 S L1 FULL
L4           26 S L3 AND CAPLUS/LC

     FILE 'CAPLUS' ENTERED AT 08:11:34 ON 21 SEP 2006
L5           47 S L4
L6              STRUCTURE UPLOADED
                S L6

     FILE 'REGISTRY' ENTERED AT 08:13:51 ON 21 SEP 2006
L7            6 S L6

     FILE 'CAPLUS' ENTERED AT 08:13:53 ON 21 SEP 2006
L8           16 S L7
                S L6

     FILE 'REGISTRY' ENTERED AT 08:13:56 ON 21 SEP 2006
L9          228 S L6 FULL

     FILE 'CAPLUS' ENTERED AT 08:13:57 ON 21 SEP 2006
L10        1346 S L9 FULL

     FILE 'CAPLUS' ENTERED AT 08:14:01 ON 21 SEP 2006
L11        1346 S L10

=> s l11 and l5
L12          20 L11 AND L5

=> d ibib abs hitstr 1-20
```

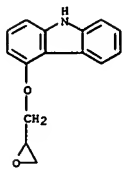
L12 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:558278 CAPLUS
DOCUMENT NUMBER: 145:62782
TITLE: Process for the preparation of carvedilol or its enantiomers from the ring-opening reaction of 4-(2,3-epoxypropoxy)carbazole or its enantiomers with an excess of 2-(2-methoxyphenoxy)ethylamine in ethyl acetate as the reaction solvent
INVENTOR(S): Trepat Guixer, Elisenda; Munoz Alvarez, Anna; Pomares Marco, Marta; Marquillas Olondriz, Francisco
PATENT ASSIGNEE(S): Zambon Group S.p.A., Italy
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006061364	A1	20060615	WO 2005-EP56469	20051205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

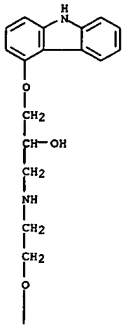
PRIORITY APPLN. INFO.: EP 2004-106438 A 20041209

OTHER SOURCE(S): CASREACT 145:62782
AB A process for the preparation of carvedilol, as well as its optically active R and S enantiomers, comprises the ring-opening reaction of 4-(2,3-epoxypropoxy)carbazole, or its enantiomers, with an excess of 2-(2-methoxyphenoxy)ethylamine using Et acetate as the reaction solvent.
IT 51997-51-4, 4-(2,3-Epoxypropoxy)carbazole 95093-95-1 95093-96-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of carvedilol or its enantiomers from the ring-opening reaction of 4-(2,3-epoxypropoxy)carbazole or its enantiomers with an excess of 2-(2-methoxyphenoxy)ethylamine in Et acetate as the reaction solvent)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

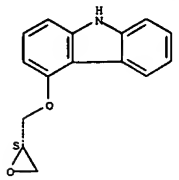
RN 95093-99-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

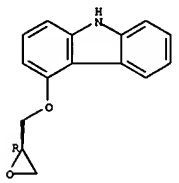
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



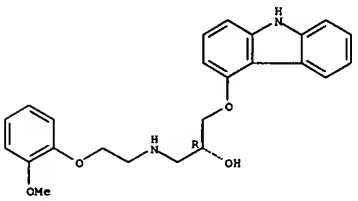
RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



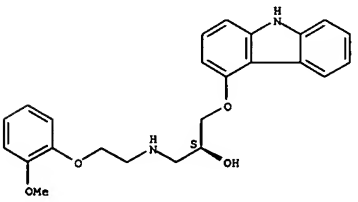
IT 72956-09-3P, Carvedilol 95093-99-5P, (R)-Carvedilol 95094-00-1P, (S)-Carvedilol
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the preparation of carvedilol or its enantiomers from the

L12 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 95094-00-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

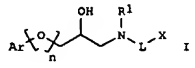
L12 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:538842 CAPLUS
DOCUMENT NUMBER: 145:46071
TITLE: Preparation of oxopyridazinyl derivatives with inhibitory activity against beta-adrenergic receptors and phosphodiesterase
INVENTOR(S): Taylor, Malcolm George; Klenke, Burkhard; Suzdak, Peter D.; Mazhari, Reza
PATENT ASSIGNEE(S): Artesian Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006060122	A2	20060608	WO 2005-US40409	20051108
WO 2006060122	C2	20060817		

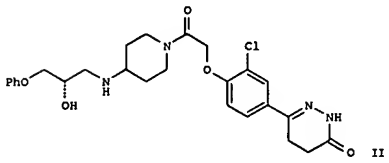
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-631599P P 20041130
US 2005-717756P P 20050919

OTHER SOURCE(S): MARPAT 145:46071
GI



I



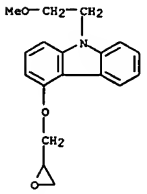
II

L12 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. I [n = 0-1; Ar = (un)substituted aryl or heteroaryl radicals; R1 = H, alkyl, alkenyl, cycloalkyl, etc.; L = (un)substituted alkylene, alkenylene, alkynylene, etc.; X = heterocyclic or heterocyclic aryl ligand], and their pharmaceutically acceptable salts, are prepared and disclosed as possessing inhibitory activity against β-adrenergic receptors and phosphodiesterases, including phosphodiesterase 3 (PDE3). Thus, e.g., II was prepared by reaction of (S)-1-phenoxy-3-(piperidin-4-ylamino)propan-2-ol (preparation given) with [2-chloro-4-(6-oxo-1,4,5,6-tetrahydropyridazin-3-yl)phenoxy]acetic acid. Selected compds. of the invention were found in assays for measuring cAMP PDE-3 inhibitory activity to possess IC50 values of less than 1 μM. The present invention further provides pharmaceutical compns. comprising such compds., methods of preparing such compds., and methods of using such compds. for regulating calcium homeostasis, for treating a disease, disorder or condition in which disregulation of calcium homeostasis is implicated and for treating cardiovascular disease, stroke, epilepsy, an ophthalmic disorder or migraine.

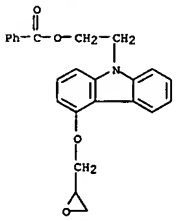
IT 890018-88-9P 890018-90-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxopyridazinyl derivs. with mixed pde-inhibitory and β-adrenergic antagonist or partial agonist activity for treatment of heart failure)

RN 890018-88-9 CAPLUS
CN 9H-Carbazole, 9-(2-methoxyethyl)-4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



RN 890018-90-3 CAPLUS
CN 9H-Carbazole-9-ethanol, 4-(oxiranylmethoxy)-, benzoate (ester) (9CI) (CA INDEX NAME)

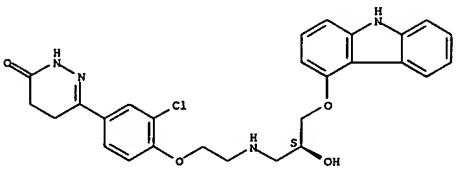
L12 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 890018-59-4P 890018-60-7P 890018-61-8P
890018-63-0P 890018-64-1P 890018-75-4P
890018-80-1P 890018-82-3P 890018-94-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxopyridazinyl derivs. with mixed pde-inhibitory and β-adrenergic antagonist or partial agonist activity for treatment of heart failure)

RN 890018-59-4 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-[2-[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

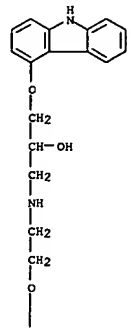
Absolute stereochemistry.



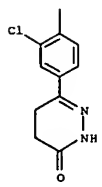
RN 890018-60-7 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

L12 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

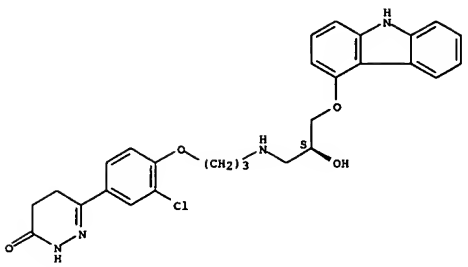


PAGE 2-A



RN 890018-61-8 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-[3-[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propoxy]-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

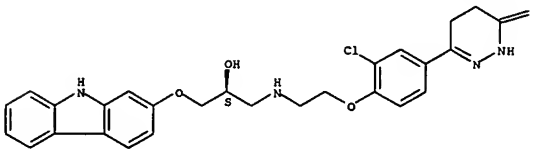
Absolute stereochemistry.



RN 890018-63-0 CAPLUS
CN 3(2H)-Pyridazinone, 6-{4-[2-[{(2S)-3-(9H-carbazol-2-yloxy)-2-hydroxypropyl]amino]ethoxy}-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



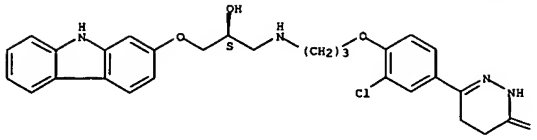
PAGE 1-B

=O

RN 890018-64-1 CAPLUS
CN 3(2H)-Pyridazinone, 6-{4-[3-[{(2S)-3-(9H-carbazol-2-yloxy)-2-hydroxypropyl}amino}propoxy}-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

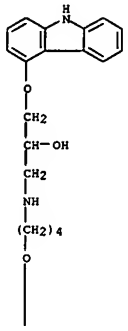


PAGE 1-B

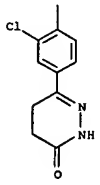
=O

RN 890018-75-4 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-{4-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino}butoxy]-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A

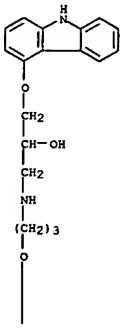


PAGE 2-A

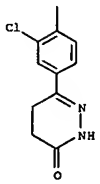


RN 890018-80-1 CAPLUS
CN 3(2H)-Pyridazinone, 6-{4-[3-{[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino}propoxy}-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A

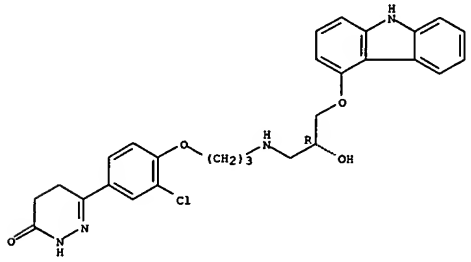


PAGE 2-A



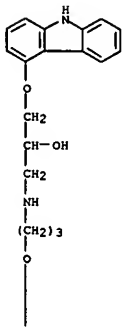
RN 890018-82-3 CAPLUS
CN 3(2H)-Pyridazinone, 6-[4-[3-[[(2R)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propoxy]-3-chlorophenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

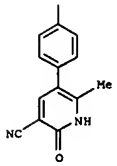


RN 890018-94-7 CAPLUS
CN 3-Pyridinecarbonitrile, 5-[4-[3-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propoxy]phenyl]-1,2-dihydro-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

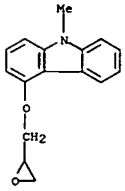


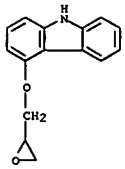
PAGE 2-A



IT 51997-51-4 95093-96-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxopyridazinyl derivs. with mixed pde-inhibitory and β-adrenergic antagonist or partial agonist activity for treatment of heart failure)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

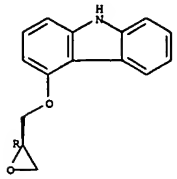
RN 890017-26-2 CAPLUS
CN 9H-Carbazole, 9-methyl-4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)





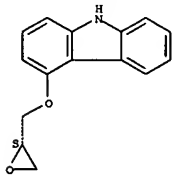
RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 95093-95-1P 890017-26-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxopyridazinyl derivs. with mixed pde-inhibitory and β-adrenergic antagonist or partial agonist activity for treatment of heart failure)
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



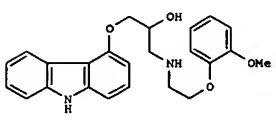
ACCESSION NUMBER: 2005:1288806 CAPLUS
DOCUMENT NUMBER: 144:22811
TITLE: A novel process for the preparation of 1-(9H-carbazol-4-yloxy)-3-[[2-(-methoxyphenoxy)-ethyl] amino]-propan-2-ol (carvedilol)
INVENTOR(S): Tarur, Venkatasubramanian Radhakrishnan; Sathe, Dhananjay Govind; Kulkarni, Swapnil Jayant
PATENT ASSIGNEE(S): USV Limited, India
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115981	A2	20051208	WO 2005-IN139	20050503
WO 2005115981	A3	20060119		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2004-MU479 A 20040507

OTHER SOURCE(S): CASREACT 144:22811
GI



I

AB This invention disclosed a novel process for preparation of carvedilol (I) in high purity by using eco friendly solvents. The process comprised reacting 4-hydroxycarbazole with epichlorhydrin in presence of an organic solvent and a base at temps. between 10° and 30°, and then reacting the resultant 4-(2,3-epoxypropoxy)carbazole with a salt of 2-(2-methoxyphenoxy)ethylamine, preferably the hydrochloride salt, in presence of a base and a hydroxylic solvent at temps. between 30° and 90°.

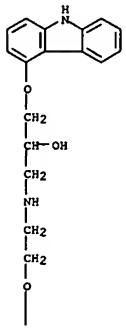
L12 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 72956-09-3P, 1-(9H-Carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(eco friendly process for the preparation of carvedilol, a pharmaceutically
useful adrenergic β-receptor antagonist)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



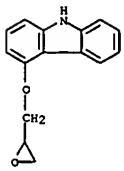
PAGE 2-A

IT 51997-51-4P, 4-(2,3-Epoxypropoxy)carbazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(eco friendly process for the preparation of carvedilol, a pharmaceutically
useful adrenergic β-receptor antagonist)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L12 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1260624 CAPLUS

DOCUMENT NUMBER: 144:22806

TITLE: Process for the preparation of carvedilol

INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra

PATENT ASSIGNEE(S): Cipla Limited, India; Wain, Christopher Paul

SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

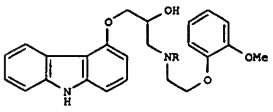
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113502	A1	20051201	WO 2005-GB1978	20050519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2004-11273 A 20040520

OTHER SOURCE(S): CASREACT 144:22806

GI



I

AB A process for the preparation of carvedilol I (R = H) was disclosed and comprised aromatization/reduction of 1,2,3,9-tetrahydro-4H-carbazol-4-one by refluxing with Raney Ni and NaOH in water for 20 h to form 4-hydroxy-9H-carbazole, reaction of resulting alc. with epichlorohydrin using tetrabutylammonium bromide and NaOH in water to give 4-oxiranylmethoxy-9H-carbazole, reaction of the intermediate epoxide with MeO-2-C6H4O(CH2)2NHCH2Ph using K2CO3 in water to give carvedilol N-benzyl derivative I (R = CH2Ph), and finally, debenzylation of I (R = CH2Ph) using Pd/C in EtOAc and water to give the desired carvedilol. This invention further provided carvedilol prepared by the disclosed process, and pharmaceutical compns. containing the same, for therapeutic uses, such as adrenergic β-receptor antagonists, vasodilators and treatment of angina pectoris.

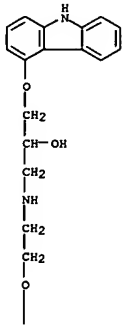
L12 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 72956-09-3P, Carvedilol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of carvedilol for use in pharmaceutical compns. as adrenergic
β-receptor antagonists and vasodilators useful for the treatment of hypertension and angina pectoris)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A

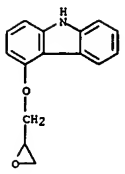


PAGE 2-A

IT 51997-51-4P, 4-Oxiranylmethoxy-9H-carbazole 72955-94-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carvedilol for use in pharmaceutical compns. as adrenergic
β-receptor antagonists and vasodilators useful for the treatment of hypertension and angina pectoris)

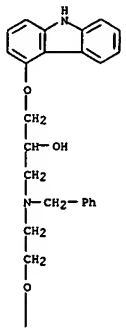
RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



RN 72955-94-3 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm
ethyl)amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

ACCESSION NUMBER: 2005:1128799 CAPLUS
DOCUMENT NUMBER: 143:386916
TITLE: An improved process for the manufacture of carvedilol
INVENTOR(S): Kankan, Rajendra Narayan Rao; Rao, Dharamraj Ramchandra
PATENT ASSIGNEE(S): Cipla Ltd., India
SOURCE: Indian, 11 pp.
CODEN: INXXAP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

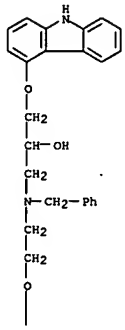
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 186587	A	20011006	IN 1999-BO583	19990817
PRIORITY APPLN. INFO.:			IN 1999-BO583	19990817

OTHER SOURCE(S): CASREACT 143:386916; MARPAT 143:386916
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved process for the manufacture of Carvedilol I, a potent antihypertensive (no biol. data given) by catalytic hydrogenation of N-substituted Carvedilol II [R1 = (un)substituted CH2Ph; formed by reacting carbazole III with a substituted amine IV]. Thus, N-alkylating benzylamine with 2-(2-methoxyphenoxy)ethyl bromide followed by reaction of the resulting N-[2-(2-methoxyphenoxy)ethyl]benzenemethanamine hydrochloride with 4-(2,3-epoxypropoxy)carbazole, and subsequent hydrogenation of the II [R1 = CH2Ph] afforded carvedilol I.
IT 72955-94-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(improved process for the manufacture of carvedilol)
RN 72955-94-3 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm
ethyl)amino]- (9CI) (CA INDEX NAME)

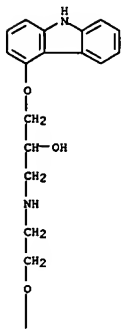
PAGE 1-A



PAGE 2-A

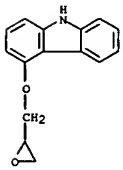
IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(improved process for the manufacture of carvedilol)
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

IT 51997-51-4, 4-(2,3-Epoxypropoxy)carbazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(improved process for the manufacture of carvedilol)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:962205 CAPLUS
DOCUMENT NUMBER: 143:266815
TITLE: Process for the manufacture of racemic carvedilol from
4-(oxiran-2-ylmethoxy)-9H-carbazole and
2-(2-methoxyphenoxy)ethylamine
INVENTOR(S): Shah, Dhiraj R.; Naik, Ashish P.; Purohit, Parva Y.; Sharma, Rajivkumar; Agarwal, Virendra Kumar
PATENT ASSIGNEE(S): Cadila Healthcare Limited, India
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080329	A2	20050901	WO 2005-IN56	20050222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2004-MU219 A 20040223

OTHER SOURCE(S): CASREACT 143:266815; MARPAT 143:266815

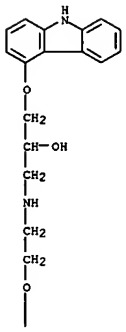
AB Carvedilol of high HPLC purity (>99.5 %) is prepared by the ring-opening addition reaction of 4-(oxiran-2-ylmethoxy)-9H-carbazole with 2-(2-methoxyphenoxy)ethylamine followed by salification of the impure carvedilol with an organic acid (e.g., salicylic acid) and neutralization of the carvedilol salt (e.g., carvedilol salicylate) with a base to give pure carvedilol.

IT 787598-89-4P, Carvedilol oxalate 787598-91-8P, Carvedilol salicylate 863664-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the manufacture of racemic carvedilol from 4-(oxiran-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine)
RN 787598-89-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

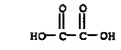
PAGE 1-A



PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4

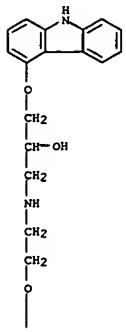


RN 787598-91-8 CAPLUS
CN Benzoic acid, 2-hydroxy-, compd. with 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

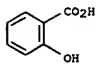
PAGE 1-A



PAGE 2-A

CM 2

CRN 69-72-7
CMF C7 H6 O3



RN 863664-91-9 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

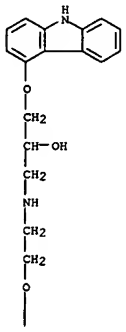
CM 1

CRN 72956-09-3

(process for the manuf. of racemic carvedilol from 4-(oxiran-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine)

RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



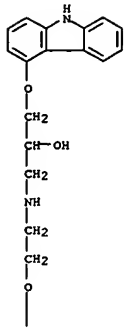
PAGE 2-A

IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the manufacture of racemic carvedilol from 4-(oxiran-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine)

RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

CMF C24 H26 N2 O4

PAGE 1-A

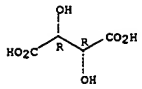


PAGE 2-A

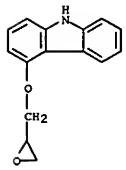
CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



IT 72956-09-3P, Carvedilol
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



L12 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1154673 CAPLUS
DOCUMENT NUMBER: 142:93675
TITLE: A process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

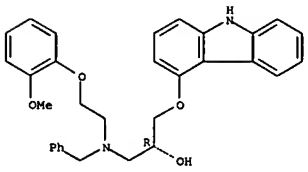
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113296	A1	20041229	WO 2004-IN52	20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: IN 2003-MU647 A 20030620
IN 2003-MU721 A 20030717

OTHER SOURCE(S): CASREACT 142:93675; MARPAT 142:93675
GI

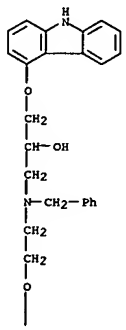
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a process for preparation of 1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxy)phenoxy]ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl2, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and



IT 72955-94-3P, N-Benzylcarvedilol
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)
RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

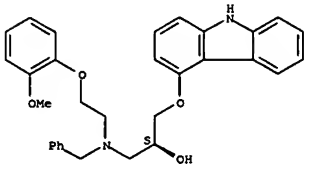
PAGE 1-A



quenched into 100 mL 12-15% aq. NH3. The aq. layer was sepd., and the product enriched org. layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this soln. of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixt. was hydrogenated at 3.5-4.5 Kg/cm2 at temp. 60-70° for a period of about 10 h and filtered. The filtrate was concd. to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the soln. was stirred for .apprx.10 h. The crystals were sepd. by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

IT 224782-73-4P, (S)-1-[N-Benzyl-N-[2-(2-methoxyphenoxy)ethyl]amino]-3-[(9H-carbazol-4-yl)oxy]propan-2-ol 224782-76-7P, (R)-1-[N-Benzyl-N-[2-(2-methoxyphenoxy)ethyl]amino]-3-[(9H-carbazol-4-yl)oxy]propan-2-ol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)
RN 224782-73-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



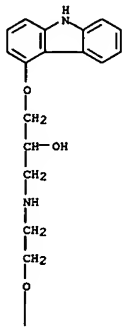
RN 224782-76-7 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 2-A

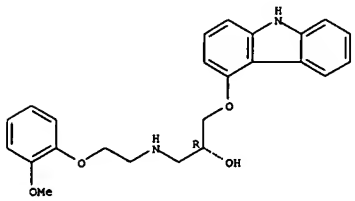
IT 72956-09-3P, Carvedilol 95093-99-5P, (R)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol 95094-00-1P, (S)-1-(9H-Carbazol-4-yloxy)-3-[[2-[2-(methoxy)phenoxy]ethyl]amino]propan-2-ol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



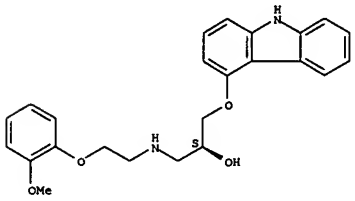
RN 95093-99-5 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-,
(2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 95094-00-1 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-,
(2S)- (9CI) (CA INDEX NAME)

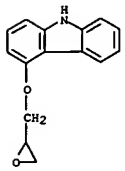
Absolute stereochemistry.



IT 51997-51-4, 4-(Oxiranylmethoxy)-9H-carbazole 95093-95-1,
(S)-4-(Oxiranylmethoxy)-9H-carbazole 95093-96-2,
(R)-4-(Oxiranylmethoxy)-9H-carbazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of carvedilol by amination of

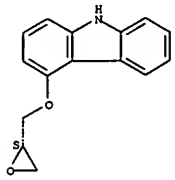
oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)

RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



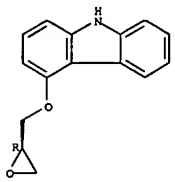
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

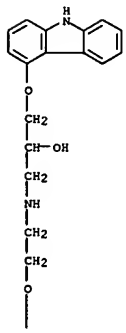
ACCESSION NUMBER: 2004:927171 CAPLUS
DOCUMENT NUMBER: 141:395415
TITLE: Process for the preparation of crystalline carvedilol form-II
INVENTOR(S): Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata
Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula Vera Venkata Krishna
PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094378	A1	20041104	WO 2004-IN104	20040416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1615888	A1	20060118	EP 2004-727971	20040416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				

PRIORITY APPLN. INFO.: IN 2003-MA328 A 20030421
WO 2004-IN104 W 20040416

OTHER SOURCE(S): CASREACT 141:395415
AB The present invention provides a cost-effective, industrially feasible process for the manufacture of crystalline carvedilol form-II using novel carvedilol
salts comprising a step of reacting 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine followed by acidification with mineral acid
in presence of an organic solvent to yield acid addition salts, (e.g. carvedilol
oxalate), treatment of the said salts with base(s) in presence of organic solvent(s), water, and isolation from the organic solvent(s) followed by crystallization from Et acetate.
IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of crystalline carvedilol form-II by reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine)
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

IT 51997-51-4P, 4-(2,3-Epoxypropoxy)carbazole 787598-89-4P, Carvedilol oxalate 787598-91-8P, Carvedilol salicylate
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of crystalline carvedilol form-II by reaction of 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine)

RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

PAGE 2-A

CM 2

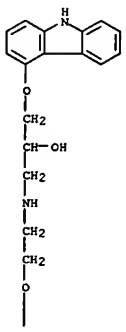
CRN 144-62-7
CMF C2 H2 O4

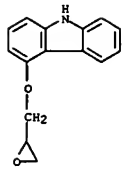
RN 787598-91-8 CAPLUS
CN Benzoic acid, 2-hydroxy-, compd. with 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

PAGE 1-A



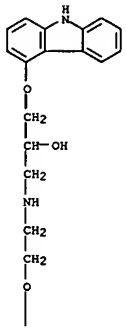


RN 787598-89-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 72956-09-3
CMF C24 H26 N2 O4

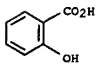
PAGE 1-A



PAGE 2-A

CM 2

CRN 69-72-7
CMF C7 H6 O3



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

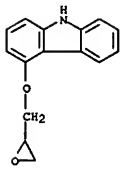
FORMAT

L12 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:412919 CAPLUS
DOCUMENT NUMBER: 140:406735
TITLE: Process for the preparation of carvedilol from 4-(oxirane-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine salts
INVENTOR(S): Hercek, Richard; Skoda, Alojz; Proksa, Bohumil
PATENT ASSIGNEE(S): Zentiva, A.S., Slovakia
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041783	A1	20040521	WO 2003-SK20	20031104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003301861	A1	20040607	AU 2003-301861	20031104
EP 1558575	A1	20050803	EP 2003-810732	20031104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006167077	A1	20060727	US 2005-533809	20050505
PRIORITY APPLN. INFO.:			SK 2002-1595 A	20021108
			WO 2003-SK20 W	20031104

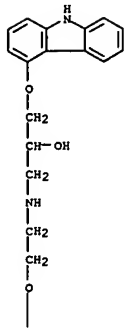
OTHER SOURCE(S): CASREACT 140:406735
AB Carvedilol is prepared in high yield and selectivity by the reaction of 4-(oxirane-2-ylmethoxy)-9H-carbazole with acid-addition salts of 2-(2-methoxyphenoxy)ethylamine [e.g., 2-(2-methoxyphenoxy)ethylamine hydrochloride] in the presence of a base (e.g., potassium carbonate) in an C2-5 alc. solvent (e.g., isopropanol) at an elevated temperature (e.g., 83°).
IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of carvedilol from 4-(oxirane-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine salts)
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

L12 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L12 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

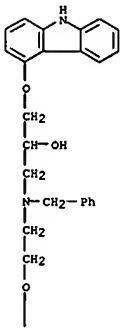
IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of carvedilol from 4-(oxirane-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine salts)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:556143 CAPLUS
DOCUMENT NUMBER: 137:125080
TITLE: Process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temperatures and catalyst loading
INVENTOR(S): Scalone, Michelangelo; Zeibig, Thomas Albert
PATENT ASSIGNEE(S): Hoffmann-LaRoche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099223	A1	20020725	US 2002-54462	20020122
US 6777559	B2	20040817		
CA 2434408	AA	20020801	CA 2002-2434408	20020122
WO 2002059089	A2	20020801	WO 2002-EP583	20020122
WO 2002059089	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1355880	A2	20031029	EP 2002-716673	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519465	T2	20040702	JP 2002-559391	20020122
US 2004127723	A1	20040701	US 2004-763296	20040122
PRIORITY APPLN. INFO.:			EP 2001-101584 A	20010125
			US 2002-54462 A3	20020122
			WO 2002-EP583 W	20020122

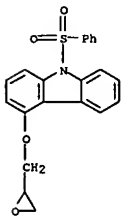
OTHER SOURCE(S): CASREACT 137:125080; MARPAT 137:125080
AB A process for the preparation heterocyclic indene analogs, especially with the preparation of 4-hydroxycarbazole or N-protected 4-hydroxycarbazole, involves cyclocarbonylation followed by saponification This process avoids high temps. and high catalyst loadings.
IT 72955-94-3P 444105-40-2P 444105-41-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)
RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

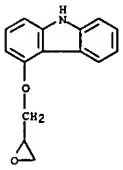
PAGE 1-A



PAGE 2-A

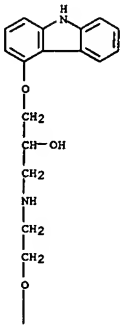
RN 444105-40-2 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)





RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



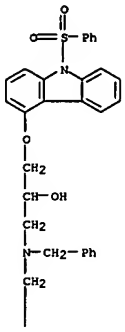
PAGE 2-A

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

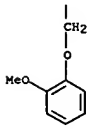
FORMAT

RN 444105-41-3 CAPLUS
CN 9H-Carbazole, 4-[2-hydroxy-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]propoxy]-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT 51997-51-4P, 4-Oxiranylmethoxy-9H-carbazole 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); PREP (Preparation)
(process for preparing heterocyclic indene analogs by cyclocarbonylation
at moderate temps. and catalyst loading)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

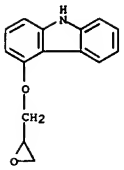
ACCESSION NUMBER: 2002:180462 CAPLUS
DOCUMENT NUMBER: 137:288465
TITLE: Synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compounds
AUTHOR(S): Wang, Lichen; Zhang, Yiyun; Zhang, Luyong; Jiang, Zhenzhou
CORPORATE SOURCE: Department of Organic Chemistry, Center of Drug Pharmacokinetics, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: Zhongguo Yaoke Daxue Xuebao (2001), 32(6), 408-411
CODEN: ZHYXE9; ISSN: 1000-5048
PUBLISHER: Zhongguo Yaoke Daxue
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:288465

AB The new compds. with β-adrenergic receptor antagonistic action were screened. Using carbazolol as a lead compound, 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds. were designed and synthesized of which all were not reported previously. Their structures were identified by IR, 1HNMR, EA, or HRMS. The preliminary biol. tests suggested that all the ten compds. can inhibit isoprenaline-induced tachycardia to different extents, and three of them showed better activity.

IT 467469-58-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds.)

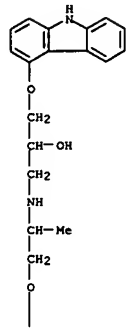
RN 467469-58-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[(2-(2,6-dimethylphenoxy)-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

L12 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

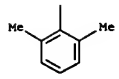


L12 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



IT 51997-51-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds.)

RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:10275 CAPLUS
DOCUMENT NUMBER: 136:90914
TITLE: Preparation of carvedilol and its crystalline hydrate and solvate
INVENTOR(S): Hildesheim, Jean; Finogueev, Sergey; Aronhime, Judith; Dolitzky, Ben-Zion; Ben-Valid, Shoshana; Kor, Ilan
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000216	A1	20020103	WO 2001-US20760	20010628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413702	AA	20020103	CA 2001-2413702	20010628
US 2002143045	A1	20021003	US 2001-894798	20010628
US 6699997	B2	20040302		
EP 1299101	A1	20030409	EP 2001-950671	20010628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501191	T2	20040115	JP 2002-504998	20010628
CN 1733727	A	20060215	CN 2005-10086095	20010628
EP 1655285	A1	20060510	EP 2005-21195	20010628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2002010282	A	20031219	ZA 2002-10282	20021219
US 2004152757	A1	20040805	US 2004-758025	20040116
US 7056942	B2	20060606		
US 2004225132	A1	20041111	US 2004-758026	20040116
US 2006030614	A1	20060209	US 2005-217643	20050831

PRIORITY APPLN. INFO.:		
US 2000-214356P	P	20000628
US 2000-246358P	P	20001107
CN 2001-814616	A3	20010628
EP 2001-950671	A3	20010628
US 2001-894798	A3	20010628
WO 2001-US20760	W	20010628
US 2004-758025	A3	20040116

AB This invention relates to an improved process of preparing carvedilol, as well as a new crystalline hydrate and solvate and forms of carvedilol,

L12 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

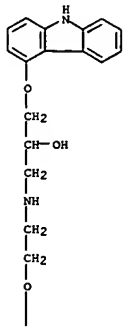
processes for the manuf. thereof, and pharmaceutical compns. thereof. Carvedilol was prepd. by the reaction of 2-(2-methoxyphenoxy)ethylamine and 4-(oxiran-2-ylmethoxy)-9H-carbazole. Cryst. carvedilol form II was prepd. by crystg. carvedilol from isoamyl alc.

IT 72956-09-3P, Carvedilol 385765-36-6P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carvedilol and its crystalline hydrate and solvate)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



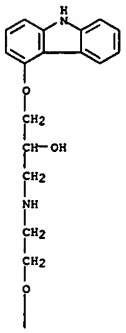
PAGE 2-A

RN 385765-36-6 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, hydrochloride, hydrate (9CI) (CA INDEX NAME)

L12 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

● x HCl

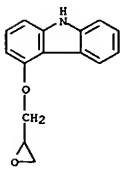
● x H_2O

IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carvedilol and its crystalline hydrate and solvate)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:747162 CAPLUS

DOCUMENT NUMBER: 135:288690

TITLE: Intermediates for preparing the R- or S- enantiomer and N-benzyl derivatives of 1-[9'H-carbazol-4'-yloxy]-3-[2"-(2"'-methoxyphenoxy)ethylamino]propan-2-ol [carvedilol]

INVENTOR(S): Ratkai, Zoltan; Barkoczy, Jozsef; Simig, Gyula; Gregor, Tamas; Vereczkey, Gyoergyi Donath; Nemeth, Norbert; Nagy, Kalman; Cselenyak, Judit; Szabo, Tibor; Balazs, Laszlo; Doman, Imre; Greff, Zoltan; Nagy, Peter Kotay; Seres, Peter

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.

SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1142874	A2	20011010	EP 2001-111214	19981124
EP 1142874	A3	20031022		
R: BE, DE, ES, FR, GB, IT, SI, LT, LV, RO				
RU 2216539	C2	20031120	RU 1998-120700	19981118
RU 2245875	C2	20050210	RU 2003-107772	19981118
EP 918055	A1	19990526	EP 1998-122114	19981124
EP 918055	B1	20030423		
EP 918055	B2	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:

HU 1997-2209	A	19971124
HU 1998-2180	A	19981001
EP 1998-122114	A3	19981124
RU 1998-120700	A	19981118

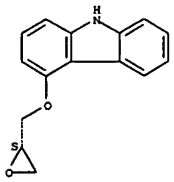
OTHER SOURCE(S): CASREACT 135:288690

AB R-(+)-1-[N-benzyl-2'-[[2''-(methoxyphenoxy)ethyl]amino]-3-[9'''H-carbazol-4'''-yloxy]propan-2-ol and S-(-)-1-[N-benzyl-2'-[[2''-(methoxyphenoxy)ethyl]amino]-3-[9'''H-carbazol-4'''-yloxy]propan-2-ol and the R- or S- enantiomer of carvedilol are prepared in high yield and selectivity by the ring-opening cleavage of the resp. R- or S- enantiomer of 4-(oxiranylmethoxy)-9H-carbazole with N-2-[(2''-methoxyphenoxy)ethyl]benzylamine to give the N-benzyl derivs., and the chiral carvedilol enantiomers are prepared by the reductive debenzylation of the resp. chiral N-benzyl derivs. in the presence of Pd/C and hydrazine hydrate.

IT 95093-95-1, S-4-(Oxiranylmethoxy)-9H-carbazole 95093-96-2, R-4-(Oxiranylmethoxy)-9H-carbazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediates for preparing the R- or S- enantiomer and N-benzyl derivs. of 1-[9'H-carbazol-4'-yloxy]-3-[2"-(2"'-methoxyphenoxy)ethylamino]propa

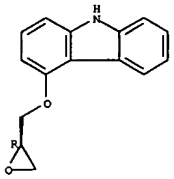
n-2-ol [carvedilol])
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



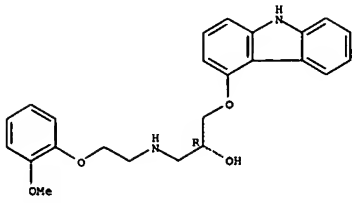
RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



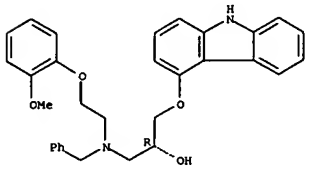
IT 95093-99-5P, 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, R- 224782-76-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates for preparing the R- or S- enantiomer and N-benzyl derivs.
of
1-[9'H-carbazol-4'-yloxy]-3-[2"-(2"'-methoxyphenoxy)ethylamino]propa n-2-ol [carvedilol])
RN 95093-99-5 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



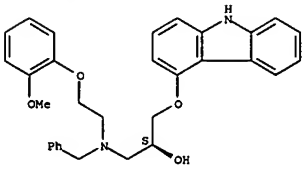
RN 224782-76-7 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm ethyl)amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



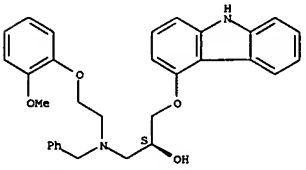
IT 224782-73-4DP, acid-addition salts 224782-73-4P
224782-76-7DP, acid-addition salts
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediates for preparing the R- or S- enantiomer and N-benzyl derivs.
of
1-[9'H-carbazol-4'-yloxy]-3-[2"-(2"'-methoxyphenoxy)ethylamino]propa n-2-ol [carvedilol])
RN 224782-73-4 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm ethyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



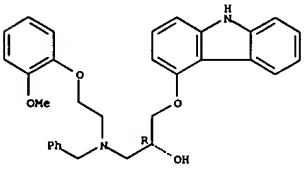
RN 224782-73-4 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm ethyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 224782-76-7 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm ethyl)amino]-, (2R)- (9CI) (CA INDEX NAME)

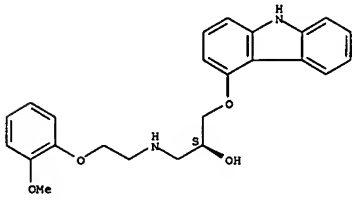
Absolute stereochemistry. Rotation (+).



IT 95094-00-1P, 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, S-
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 95094-00-1 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:747161 CAPLUS
DOCUMENT NUMBER: 135:288689
TITLE: Process for preparing 1-[9'H-carbazol-4'-yloxy]-3-[2"-(2"'- methoxyphenoxy)ethylamino]-propan-2-ol (carvedilol)
INVENTOR(S): Ratkai, Zoltan; Barkoczy, Jozsef; Simig, Gyula; Gregor, Tamas; Vereczkey, Gyoergyi Donath; Nemeth, Norbert; Nagy, Kalman; Cselenyak, Judit; Szabo, Tibor; Balazs, Laszlo; Doman, Imre; Greff, Zoltan; Nagy, Peter Kotay; Seres, Peter
PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1142873	A2	20011010	EP 2001-111213	19981124
EP 1142873	A3	20030910		
EP 1142873	B1	20040421		
R: BE, DE, ES, FR, GB, IT, SI, LT, LV, RO				
RU 2216539	C2	20031120	RU 1998-120700	19981118
RU 2245875	C2	20050210	RU 2003-107772	19981118
EP 918055	A1	19990526	EP 1998-122114	19981124
EP 918055	B1	20030423		
EP 918055	B2	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

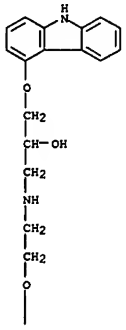
PRIORITY APPLN. INFO.: HU 1997-2209 A 19971124
HU 1998-2180 A 19981001
EP 1998-122114 A3 19981124
RU 1998-120700 A 19981118

OTHER SOURCE(S): CASREACT 135:288689

AB A process for preparing 1-[9'H-carbazol-4'-yloxy]-3-[(2'-(2'-methoxyphenoxy)ethyl]amino]propan-2-ol as well as acid addition salts of this compound, was developed in which the N-[2-(2'-methoxy-phenoxy)-ethyl]benzylamine is reacted with epichlorohydrin, and the formed 1-N-benzyl-2'-[(2'-methoxy-phenoxy)ethyl]amino]-3-propan-2-ol is reacted with 4-hydroxy-9H-carbazole and the resulting 1-N-benzyl-2'-(methoxyphenoxyethylamino)-3-[9'H-carbazol-4'-yloxy]propan-2-ol is debenzylated by catalytic hydrogenation and, if desired, the 1-[9'H-carbazol-4'-yloxy]-3-[(2'-(2'-methoxyphenoxy)ethyl]amino]propan-2-ol thus obtained is reacted with acids to yield acid addition their salts, or if desired, liberating the free 1-[9'H-carbazol-4'-yloxy]-3-[(2)-(2'-methoxyphenoxy)ethyl]aminopropan-2-ol base from acid addition salts thereof and, if desired, converting the free 1-[9'H-carbazol-4'-yloxy]-3-(2)-(2'-methoxyphenoxy)ethylamino-propan-2-ol base into other acid addition salts and/or, if desired, separating the enantiomers.

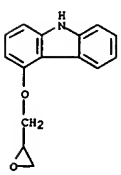
L12 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

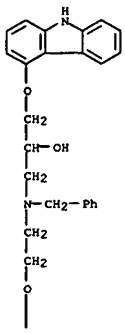
IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparing 1-(9'H-carbazol-4'-yloxy]-3-[2-(2'-methoxyphenoxy)ethylamino]propan-2-ol [carvedilol])
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 72955-94-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparing 1-[9'H-carbazol-4'-yloxy]-3-[2-(2'-methoxyphenoxy)ethylamino]propan-2-ol [carvedilol])
RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

IT 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparing 1-[9'H-carbazol-4'-yloxy]-3-[2-(2'-methoxyphenoxy)ethylamino]propan-2-ol [carvedilol])
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

L12 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L12 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:344783 CAPLUS
DOCUMENT NUMBER: 130:352184
TITLE: Preparation of carvedilol
INVENTOR(S): Ratkai, Zoltan; Barkoczy, Jozsef; Simig, Gyula; Gregor, Tamas; Vereczkey, Gyorgyi Donath; Nemeth, Norbert; Nagy, Kalman; Cselenyak, Judit; Szabo, Tibor; Balazs, Laszlo; Doman, Imre; Greff, Zoltan; Nagy, Peter Kotay; Seres, Peter
PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 918055	A1	19990526	EP 1998-122114	19981124
EP 918055	B1	20030423		
EP 918055	B2	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HR 980590	B1	20031231	HR 1998-980590	19981112
SK 284109	B6	20040908	SK 1998-1560	19981112
RU 2216539	C2	20031120	RU 1998-120700	19981118
RU 2245875	C2	20050210	RU 2003-107772	19981118
EP 1142873	A2	20011010	EP 2001-111213	19981124
EP 1142873	A3	20030910		
EP 1142873	B1	20040421		
R: BE, DE, ES, FR, GB, IT, SI, LT, LV, RO				
EP 1142874	A2	20011010	EP 2001-111214	19981124
EP 1142874	A3	20031022		
R: BE, DE, ES, FR, GB, IT, SI, LT, LV, RO				
ES 2196459	T3	20031216	ES 1998-122114	19981124
ES 2221875	T3	20050116	ES 2001-111213	19981124

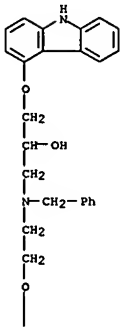
PRIORITY APPLN. INFO.:
HU 1997-2209 A 19971124
HU 1998-2180 A 19981001
RU 1998-120700 A 19981118
EP 1998-122114 A3 19981124

AB The title process comprises, e.g., condensation of 4-oxiranylmethoxy-9H-carbazole with 2-(MeO)C6H4OCH2CH2NHCH2Ph in a protic organic solvent followed by deprotection.

IT 72955-94-3P 224782-73-4P 224782-76-7P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carvedilol)

RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

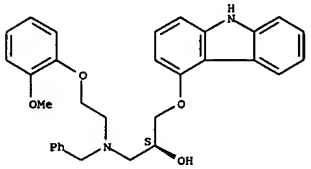
PAGE 1-A



PAGE 2-A

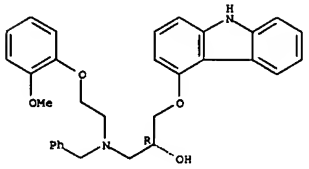
RN 224782-73-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 224782-76-7 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]-, (2R)- (9CI) (CA INDEX NAME)

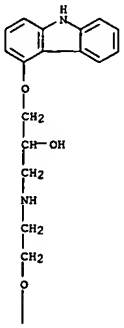
Absolute stereochemistry. Rotation (+).



IT 72956-09-3P, Carvedilol 95093-99-5P, (+)-Carvedilol 95094-00-1P, (-)-Carvedilol
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of carvedilol)

RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

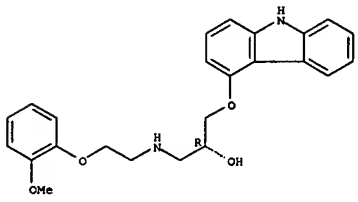
PAGE 1-A



PAGE 2-A

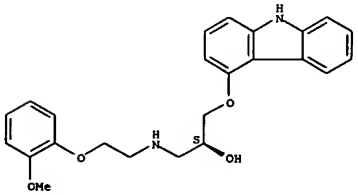
RN 95093-99-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



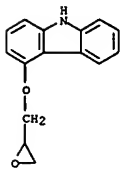
RN 95094-00-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



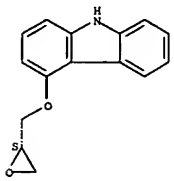
IT 51997-51-4, 4-Oxiranylmethoxy-9H-carbazole 95093-95-1, (S)-4-Oxiranylmethoxy-9H-carbazole 95093-96-2, (R)-4-Oxiranylmethoxy-9H-carbazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carvedilol)

RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



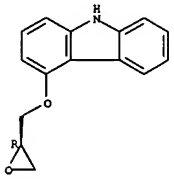
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



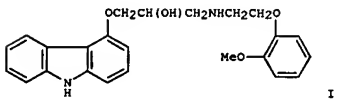
RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

ACCESSION NUMBER: 1998:654214 CAPLUS
DOCUMENT NUMBER: 130:3743
TITLE: Synthesis and crystal structure of carvedilol
AUTHOR(S): Chen, Wei-Min; Zeng, Long-Mei; Yu, Kai-Bei; Xu, Ji-Hong
CORPORATE SOURCE: Inst. Pharmaceutical Sci., The First Military Med. Univ., Canton, 510515, Peop. Rep. China
SOURCE: Jiegou Huaxue (1998), 17(5), 325-328
CODEN: JHUADF; ISSN: 0254-5861
PUBLISHER: "Jiegou Huaxue" Bianji Weiyuanhui
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

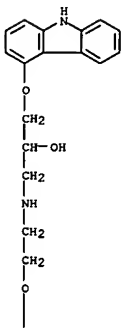


I

AB The crystal structure of carvedilol (I), prepared from 4-(2,3-epoxypropoxy)carbazole and 2-MeOC6H4OCH2CH2NH2, was determined by single-crystal x-ray diffraction. The crystal is composed of a pair of enantiomers, and there are hydrogen bonds O-H-N between the two enantiomers. There are two planes in the mol.

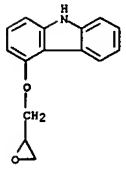
IT 72956-09-3P, Carvedilol
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of)
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and crystal structure of carvedilol)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L12 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

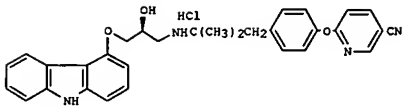
ACCESSION NUMBER: 1998:169451 CAPLUS
DOCUMENT NUMBER: 128:230241
TITLE: Preparation of carbazole derivs. as selective β3 adrenergic agonists
INVENTOR(S): Crowell, Thomas A.; Evrard, Deborah A.; Jones, Charles
D.; Muehl, Brian S.; Rito, Christopher J.; Shuker, Anthony J.; Thorpe, Andrew J.; Thrasher, Kenneth J.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Crowell, Thomas A.; Evrard, Deborah A.; Jones, Charles D.; Muehl, Brian S.; Rito, Christopher J.; Shuker, Anthony J.; Thorpe, Andrew J.; Thrasher, Kenneth J.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809625	A1	19980312	WO 1997-US15230	19970828
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 827746	A1	19980311	EP 1997-306613	19970827
EP 827746	B1	20020403		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 215369	E	20020415	AT 1997-306613	19970827
ES 2171839	T3	20020916	ES 1997-306613	19970827
CA 2236269	AA	19980312	CA 1997-2236269	19970828
AU 9740941	A1	19980326	AU 1997-40941	19970828
JP 2002513387	T2	20020508	JP 1998-512756	19970828
ZA 9707917	A	19990603	ZA 1997-7917	19970903
US 6140352	A	20001031	US 1998-68192	19980504
US 6413991	B1	20020702	US 2000-610096	20000630
US 2002165234	A1	20021107	US 2002-120302	20020410
US 6686372	B2	20040203		
US 2005043337	A1	20050224	US 2003-694467	20031027
US 7041684	B2	20060509		

PRIORITY APPLN. INFO.:	US 1996-25818P	P	19960905
	US 1996-29228P	P	19961030
	WO 1997-US15230	W	19970828
	US 1998-68192	A3	19980504
	US 2000-610096	A1	20000630
	US 2002-120302	A1	20020410

OTHER SOURCE(S): MARPAT 128:230241
GI

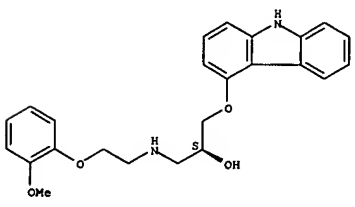
L12 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



II

AB Title compds. R1X1CH(OH)CH2N(R3)C(R5R6)X2X3R4 I (X1 = OCH2, SCH2, bond; X2
= bond, alkylene; X3 = O, S, bond; R1 = fused heterocycle; R3 = H, alkyl; R4 = (un)substituted heterocycle, naphthyl, etc.; R5 = H, alkyl; R6 = H, alkyl CO-O-alkyl; R5-R6 = cycloalkyl; R6-X2 = cycloalkyl; etc.) are prepared
for selective β3 receptor agonists which are useful in the treatment of Type II diabetes and obesity, comprising administering to mammal. The title compound II was prepared from (2S)-(+)-4-(oxiranylmethoxy)-9H-carbazole and 2-(4-(2-amino-2-methylpropyl)phenoxy)-5-pyridinecarbonitrile which was
prepared from 2-fluoropyridine and 4-(2-amino-2-methylpropyl)phenol.
IT 95094-00-1P 204592-58-5P 204592-76-7P 204593-21-5P 204593-28-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbazole derivs. as adrenergic agonists)
RN 95094-00-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

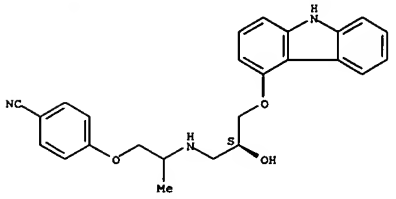
Absolute stereochemistry.



RN 204592-58-5 CAPLUS
CN Benzonitrile, 4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]propoxy]-, [2(S)]- (9CI) (CA INDEX NAME)

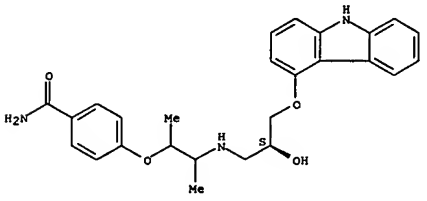
Absolute stereochemistry.

L12 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



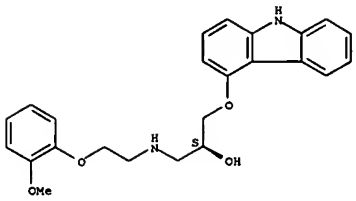
RN 204592-76-7 CAPLUS
CN Benzamide, 4-[2-[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-1-methylpropoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204593-21-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

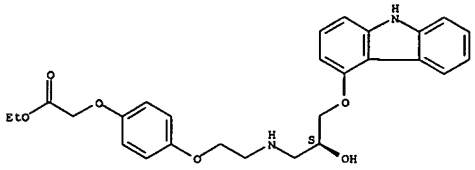
Absolute stereochemistry.



● HCl

RN 204593-28-2 CAPLUS
CN Acetic acid, [4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]phenoxy]-, ethyl ester, hydrochloride (20:37), (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



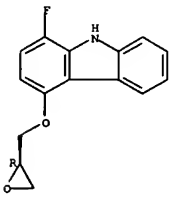
●37/20 HCl

IT 95093-95-1, (S)-(+)-4-(Oxiranylmethoxy)-9H-carbazole
204593-47-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carbazole derivs. as adrenergic agonists)
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

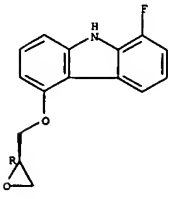
RN 204592-49-4 CAPLUS
CN 9H-Carbazole, 1-fluoro-4-(oxiranylmethoxy)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



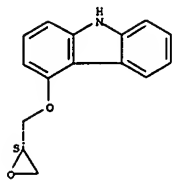
RN 204592-52-9 CAPLUS
CN 9H-Carbazole, 1-fluoro-5-(oxiranylmethoxy)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



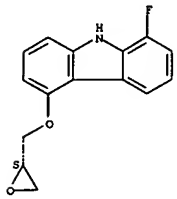
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT



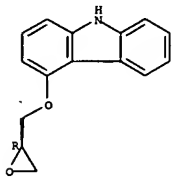
RN 204593-47-5 CAPLUS
CN 9H-Carbazole, 1-fluoro-5-(oxiranylmethoxy)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

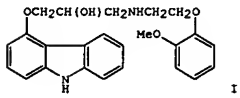


IT 95093-96-2P 204592-49-4P 204592-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbazole derivs. as adrenergic agonists)
RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



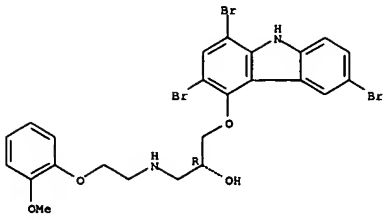
ACCESSION NUMBER: 1994:270010 CAPLUS
DOCUMENT NUMBER: 120:270010
TITLE: Synthesis of the enantiomers and three racemic metabolites of Carvedilol labeled to high specific activity with tritium
AUTHOR(S): Senderoff, S. G.; Villani, A. J.; Landvatter, S. W.; Garnes, K. T.; Heys, J. R.
CORPORATE SOURCE: Dep. Synth. Chem., SmithKline Beecham Pharm., King of Prussia, PA, 19406, USA
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals
(1993), 33(12), 1091-105
CODEN: JLCRD4; ISSN: 0362-4803
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

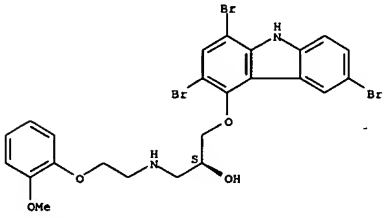
AB Carvedilol (SK&F 105517) (I) possesses unique cardiovascular activity, and is under development for indications such as angina and hypertension. Tritium labeled enantiomers of Carvedilol and racemates of three metabolites were needed for pharmacol. and drug metabolic studies. These compds. were synthesized by catalytic tritium-halogen exchange using tritium gas and 10% palladium-on-carbon catalyst. The precursors were polyhalogenated in the carbazole ring. Direct electrophilic bromination of the enantiomers of Carvedilol gave precursors that were converted to the corresponding tritiated final products by catalytic tritium halogen exchange. Bromination of 4-(2,3-epoxypropyloxy)-9H-carbazole gave an intermediate that was converted to the halogenated precursors of the racemic metabolites. Elaboration of this intermediate, 1,3,6-tribromo-4-(2,3-epoxypropyloxy)-9H-carbazole, to the desired metabolite precursors was achieved by nucleophilic epoxide opening with suitably functionalized N-benzyl aryloxyethylamines. Catalytic tritium-halogen exchange upon the brominated metabolite precursors was accompanied by cleavage of N- and O-benzyl protecting groups. Radiochem. purities of all tritiated final products were greater than 98% after preparative HPLC. Specific activities of the final products, determined by mass spectrometry, ranged from 35 to 76 Ci/mmol. Optical purity of the Carvedilol enantiomers, determined by chiral HPLC, was greater than 99%.
IT 154582-45-3P 154582-46-4P 154582-49-7P
154582-50-0P 154582-54-4P 154582-58-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in preparation of tritium labeled Carvedilol)
RN 154582-45-3 CAPLUS
CN 2-Propanol, 1-[[2-(2-methoxyphenoxy)ethyl]amino]-3-[(1,3,6-tribromo-9H-carbazol-4-yl)oxy]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

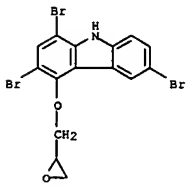


RN 154582-46-4 CAPLUS
CN 2-Propanol, 1-[[2-(2-methoxyphenoxy)ethyl]amino]-3-[(1,3,6-tribromo-9H-carbazol-4-yl)oxy]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

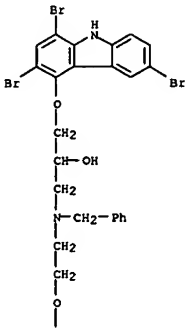


RN 154582-49-7 CAPLUS
CN 9H-Carbazole, 1,3,6-tribromo-4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

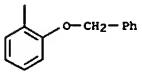


RN 154582-50-0 CAPLUS
CN 2-Propanol, 1-[[2-[2-(phenylmethoxy)phenoxy]ethyl](phenylmethyl)amino]-3-[(1,3,6-tribromo-9H-carbazol-4-yl)oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

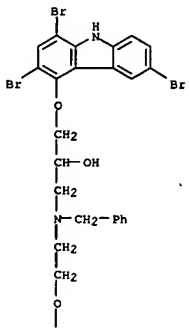


PAGE 2-A

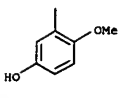


RN 154582-54-4 CAPLUS
CN Phenol, 3-[2-[[2-hydroxy-3-[(1,3,6-tribromo-9H-carbazol-4-yl)oxy]propyl](phenylmethyl)amino]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

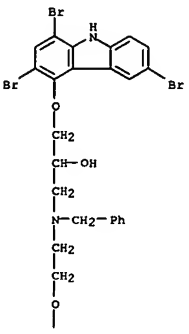


PAGE 2-A

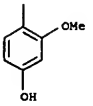


RN 154582-58-8 CAPLUS
CN Phenol, 4-[2-[[2-hydroxy-3-[(1,3,6-tribromo-9H-carbazol-4-yl)oxy]propyl](phenylmethyl)amino]ethoxy]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



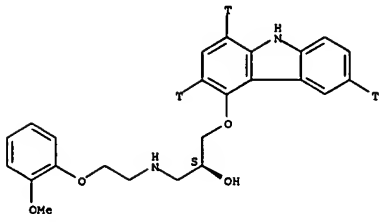
PAGE 2-A



IT 154582-59-9P 154582-60-2P 154582-61-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 154582-59-9 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yl-1,3,6-t3-oxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (S)- (9CI) (CA INDEX NAME)

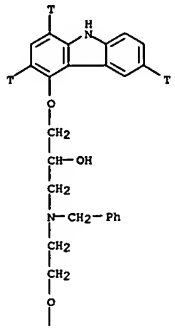
Absolute stereochemistry.

L12 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

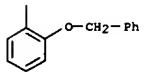


RN 154582-60-2 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yl-1,3,6-t3-oxy)-3-[[2-[2-(phenylmethoxy)phenoxy]ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

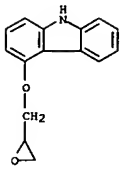
PAGE 1-A



PAGE 2-A

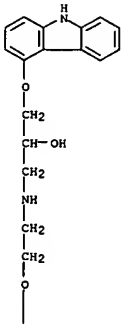


L12 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

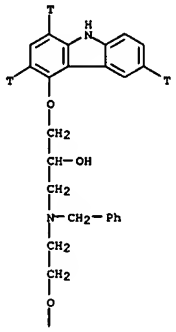


PAGE 2-A

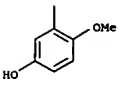
L12 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 154582-61-3 CAPLUS
CN Phenol, 3-[2-[[3-(9H-carbazol-4-yl-1,3,6-t3-oxy)-2-hydroxypropyl](phenylmethyl)amino]ethoxy]-4-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT 51997-51-4 72956-09-3, SKF 105517
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, in preparation of tritium labeled Carvedilol)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L12 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

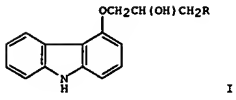
ACCESSION NUMBER: 1985:113292 CAPLUS
DOCUMENT NUMBER: 102:113292
TITLE: Optically active R- and S-carbazole derivatives
INVENTOR(S): Leinert, Herbert
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3319027	A1	19841129	DE 1983-3319027	19830526
EP 127099	A1	19841205	EP 1984-105747	19840519
EP 127099	B1	19870520		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 27273	E	19870615	AT 1984-105747	19840519
US 4697022	A	19870929	US 1984-612255	19840521
FI 8402046	A	19841127	FI 1984-2046	19840522
FI 80018	B	19891229		
FI 80018	C	19900410		
AU 8428480	A1	19841129	AU 1984-28480	19840522
AU 551116	B2	19860417		
JP 59222473	A2	19841214	JP 1984-102778	19840523
JP 05027622	B4	19930421		
CA 1259071	A1	19890905	CA 1984-454948	19840523
DK 8402551	A	19841127	DK 1984-2551	19840524
DK 169331	B1	19941010		
NO 8402084	A	19841127	NO 1984-2084	19840525
NO 164537	B	19900709		
NO 164537	C	19901017		
ZA 8403976	A	19850130	ZA 1984-3976	19840525
ES 532838	A1	19850201	ES 1984-532838	19840525
HU 34160	O	19850228	HU 1984-2038	19840525
HU 193011	B	19870828		
IL 71876	A1	19871030	IL 1984-71876	19840526
US 4824963	A	19890425	US 1987-49673	19870513
CA 1257279	A2	19890711	CA 1988-578901	19880929
US 4985454	A	19910115	US 1989-299750	19890119
US 5071868	A	19911210	US 1991-631641	19910128
JP 05208957	A2	19930820	JP 1992-256343	19920925
JP 06013508	B4	19940223		
DK 9300913	A	19930806	DK 1993-913	19930806
DK 169333	B1	19941010		

PRIORITY APPLN. INFO.:

DE 1983-3319027	A	19830526
EP 1984-105747	A	19840519
US 1984-612255	A3	19840521
CA 1984-454948	A3	19840523
US 1987-49673	A3	19870513
US 1989-299750	A3	19890119

OTHER SOURCE(S): MARPAT 102:113292
GI



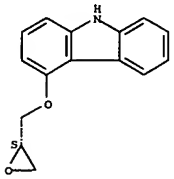
I

AB The title carbazoles I [R = (un)substituted amino] were prepared Thus, (R)-(-)-epichlorohydrin, prepared in 6 steps from D-(-)-mannitol, was treated with 4-hydroxycarbazole to give (S)-(+)-4-(2,3-epoxypropoxy)carbazole, which was treated with Me2CHNH2 to give (S)-(-)-I (R = Me2CHNH2).

IT 95093-95-1P 95093-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amination of)

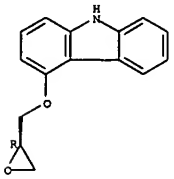
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 95093-96-2 CAPLUS
CN 9H-Carbazole, 4-[(2R)-oxiranylmethoxy]- (9CI) (CA INDEX NAME)

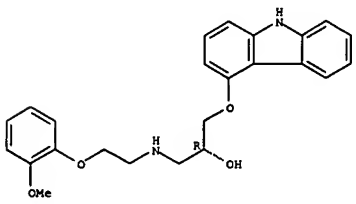
Absolute stereochemistry.



IT 95093-99-5P 95094-00-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

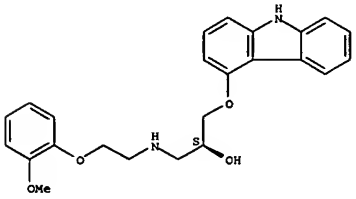
RN 95093-99-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 95094-00-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1980:128716 CAPLUS
DOCUMENT NUMBER: 92:128716
TITLE: Carbazolyl-4-oxypropanolamine derivatives
INVENTOR(S): Wiedemann, Fritz; Kampe, Wolfgang; Thiel, Max; Sponer,
Gisbert; Roesch, Egon; Dietmann, Karl
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 27 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2815926	A1	19791018	DE 1978-2815926	19780413
CA 1129416	A1	19820810	CA 1979-324667	19790402
DK 7901419	A	19791014	DK 1979-1419	19790406
DK 154555	B	19881128		
DK 154555	C	19890619		
FI 7901142	A	19791014	FI 1979-1142	19790406
FI 70406	B	19860327		
FI 70406	C	19860912		
AU 7945820	A1	19791018	AU 1979-45820	19790406
AU 522975	B2	19820708		
ES 479396	A1	19800416	ES 1979-479396	19790406
SU 810079	A3	19810228	SU 1979-2745301	19790406
EP 4920	A1	19791031	EP 1979-101063	19790407
EP 4920	B1	19810805		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
IL 57020	A1	19820730	IL 1979-57020	19790408
DD 143607	C	19800903	DD 1979-212096	19790409
CS 227007	P	19840416	CS 1979-2434	19790410
JP 54157558	A2	19791212	JP 1979-43119	19790411
JP 01023462	B4	19890502		
ZA 7901732	A	19800528	ZA 1979-1732	19790411
HU 21840	O	19820227	HU 1979-BO1774	19790412
HU 179433	B	19821028		
AT 7902762	A	19840115	AT 1979-2762	19790412
AT 375639	B	19840827		
CS 227047	B2	19840416	CS 1982-6106	19820820
US 4503067	A	19850305	US 1983-479921	19830404
JP 63258416	A2	19881025	JP 1987-76548	19870331

PRIORITY APPLN. INFO.:
DE 1978-2815926 A 19780413
US 1979-21394 A1 19790316
CS 1979-2434 A3 19790410
US 1980-198975 A1 19801021

OTHER SOURCE(S): MARPAT 92:128716
GI

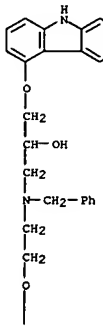
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A wide range of I (R = H, lower alkyl, or aroyl; R1 = H, lower alkyl, or aralkyl, R2 and R3 independently were H or lower alkyl, X = CH2, O, S, or valence bond; Ar = mono- or bicyclic aryl or pyridyl) (.apprx.50 compds.) were prepared as β-sympatholytics and vasodilators (no data), in most cases by reaction of 4-(oxiranylmethoxy)carbazole (II) with an amine. Thus, 6.0 g II and 7.6 g 2-MeOC6H4CH2CH2NH2 were stirred 20 h at 70° to give 61% III. Also prepared were, e.g., IV and V.

IT 72955-94-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

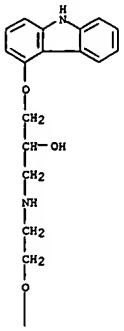


PAGE 2-A

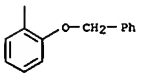
IT 72955-92-1P 72955-93-2P 72955-95-4P
72971-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

L12 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 72955-92-1 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-[2-(phenylmethoxy)phenoxy]ethyl]
amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



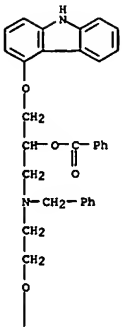
PAGE 2-A



RN 72955-93-2 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm
ethyl)amino]-, benzoate (ester), monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



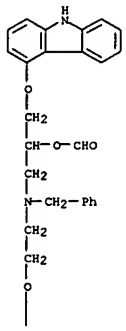
PAGE 2-A

● HCl

RN 72955-95-4 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylm
ethyl)amino]-, formate (ester), monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



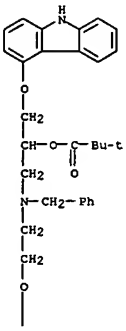
PAGE 2-A

● HCl

RN 72971-47-2 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-(9H-carbazol-4-yloxy)-1-[[[2-(2-
methoxyphenoxy)ethyl](phenylmethyl)amino]methyl]ethyl ester,
monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

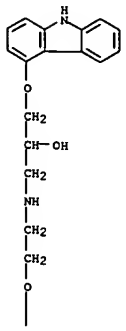


PAGE 2-A

● HCl

IT 72955-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and oxidation of)
RN 72955-91-0 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-[2-(methylthio)phenoxy]ethyl]ami
no]- (9CI) (CA INDEX NAME)

PAGE 1-A

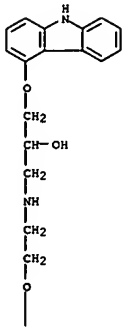


PAGE 2-A

IT 72956-09-3P 72956-10-6P 72956-11-7P
72956-12-8P 72956-14-0P 72956-15-1P
72956-16-2P 72956-17-3P 72956-18-4P
72956-22-0P 72956-23-1P 72956-24-2P
72956-25-3P 72956-26-4P 72956-27-5P
72956-29-7P 72956-30-0P 72956-31-1P
72956-35-5P 72956-36-6P 72956-37-7P
72956-38-8P 72956-40-2P 72956-41-3P
72956-42-4P 72956-44-6P 72956-45-7P
72956-46-8P 72957-31-4P 72957-32-5P
73050-15-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

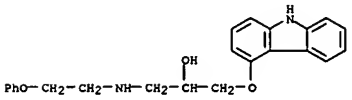
RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-
(9CI) (CA INDEX NAME)

PAGE 1-A

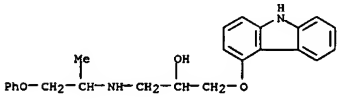


PAGE 2-A

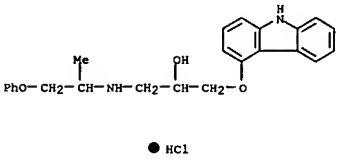
RN 72956-10-6 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[(2-phenoxyethyl)amino]- (9CI) (CA
INDEX NAME)



RN 72956-11-7 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[(1-methyl-2-phenoxyethyl)amino]-
(9CI) (CA INDEX NAME)

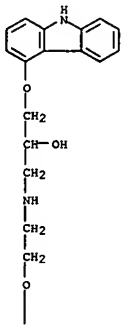


RN 72956-12-8 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[(1-methyl-2-phenoxyethyl)amino]-,
monohydrochloride (9CI) (CA INDEX NAME)

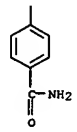


RN 72956-14-0 CAPLUS
CN Benzamide, 4-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-
(9CI) (CA INDEX NAME)

PAGE 1-A

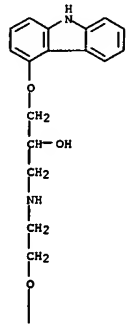


PAGE 2-A



RN 72956-15-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-ethoxyphenoxy)ethyl]amino]-
(9CI) (CA INDEX NAME)

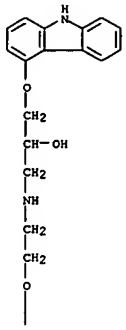
PAGE 1-A



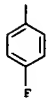
PAGE 2-A

RN 72956-16-2 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(4-fluorophenoxy)ethyl]amino]-

PAGE 1-A

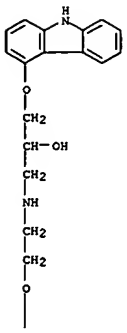


PAGE 2-A

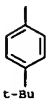


RN 72956-17-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-[4-(1,1-dimethylethyl)phenoxy]ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

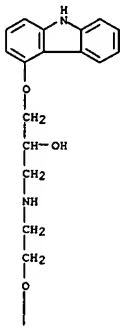


PAGE 2-A



RN 72956-18-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2,3-dimethylphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

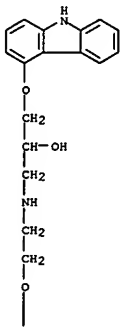
PAGE 1-A



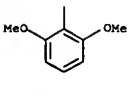
PAGE 2-A

RN 72956-22-0 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2,6-dimethoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

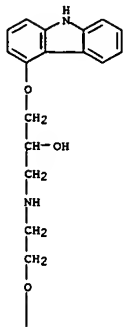


PAGE 2-A



RN 72956-23-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methylphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

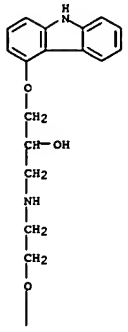
PAGE 1-A



PAGE 2-A

RN 72956-24-2 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(3-methylphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

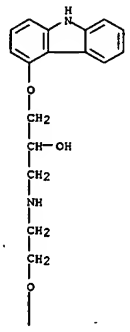
PAGE 1-A



PAGE 2-A

RN 72956-25-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-chlorophenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

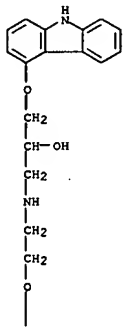
PAGE 1-A



PAGE 2-A

RN 72956-26-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(3-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

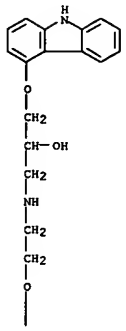
PAGE 1-A



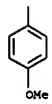
PAGE 2-A

RN 72956-27-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(4-methoxyphenoxy)ethyl]amino]-(9CI) (CA INDEX NAME)

PAGE 1-A

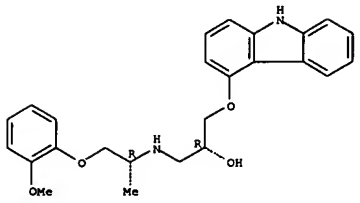


PAGE 2-A



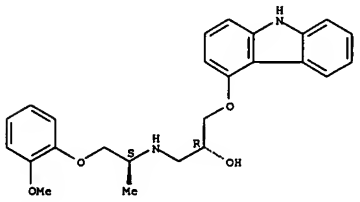
RN 72956-29-7 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)-1-methylethyl]amino]-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



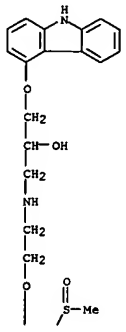
RN 72956-30-0 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)-1-methylethyl]amino]-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 72956-31-1 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-[2-(methylsulfinyl)phenoxy]ethyl]amino]- (9CI) (CA INDEX NAME)

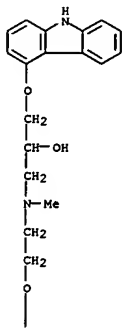
PAGE 1-A



PAGE 2-A

RN 72956-35-5 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]methylamino]- (9CI) (CA INDEX NAME)

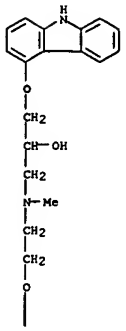
PAGE 1-A



PAGE 2-A

RN 72956-36-6 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]methylamino]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

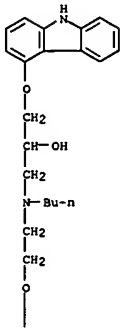


PAGE 2-A

● HCl

RN 72956-37-7 CAPLUS
CN 2-Propanol, 1-[butyl[2-(2-methoxyphenoxy)ethyl]amino]-3-(9H-carbazol-4-yloxy)- (9CI) (CA INDEX NAME)

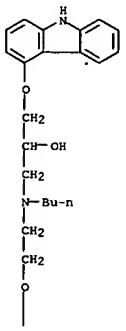
PAGE 1-A



PAGE 2-A

RN 72956-38-8 CAPLUS
CN 2-Propanol, 1-[butyl[2-(2-methoxyphenoxy)ethyl]amino]-3-(9H-carbazol-4-yloxy)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

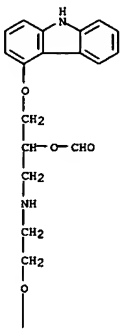


PAGE 2-A

● HCl

RN 72956-40-2 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, formate (ester), monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

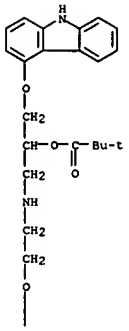


PAGE 2-A

● HCl

RN 72956-41-3 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-(9H-carbazol-4-yloxy)-1-[[[2-(2-methoxyphenoxy)ethyl]amino]methyl]ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

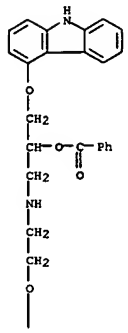


PAGE 2-A

● HCl

RN 72956-42-4 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-, benzoate (ester), monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

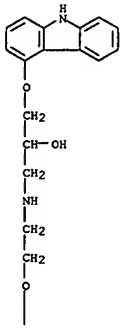


PAGE 2-A

● HCl

RN 72956-44-6 CAPLUS
CN Phenol, 2-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-(9CI) (CA INDEX NAME)

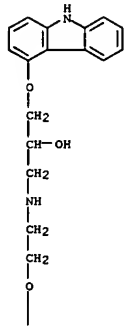
PAGE 1-A



PAGE 2-A

RN 72956-45-7 CAPLUS
CN Phenol, 2-[2-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

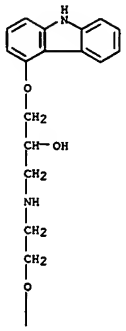


PAGE 2-A

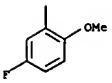
● HCl

RN 72956-46-8 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(5-fluoro-2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

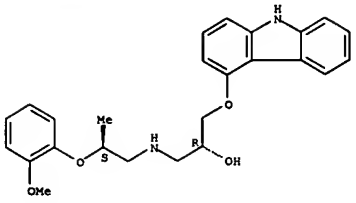


PAGE 2-A



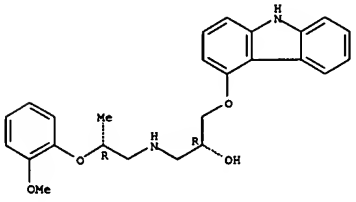
RN 72957-31-4 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)propyl]amino]-
, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 72957-32-5 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)propyl]amino]-
, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

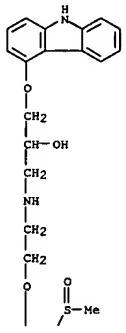


RN 73050-15-4 CAPLUS
CN 2-Propanol,
1-(9H-carbazol-4-yloxy)-3-[[2-[2-(methylsulfinyl)phenoxy]ethyl
]amino]-, ethanedioate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 72956-31-1
CMF C24 H26 N2 O4 S

PAGE 1-A

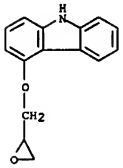


PAGE 2-A

CM 2

CRN 144-62-7
CMF C2 H2 O4

IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with amines)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	104.96	447.01

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-15.00	-15.00

FILE 'REGISTRY' ENTERED AT 08:17:32 ON 21 SEP 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 SEP 2006 HIGHEST RN 908067-83-4
DICTIONARY FILE UPDATES: 20 SEP 2006 HIGHEST RN 908067-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

Effective September 24, 2006, Concord 3D coordinates will no longer be available. Please contact CAS Customer Care (http://www.cas.org/supp.html) if you have a need for 3D coordinates.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

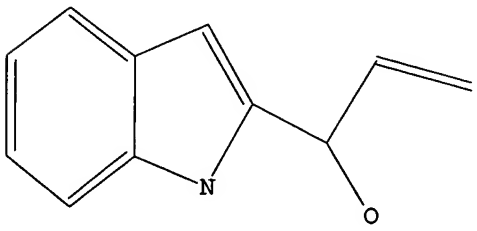
Please note that search-term pricing does apply when conducting SmartSELECT searches.

1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L13 STRUCTURE UPLOADED

=> d
L13 HAS NO ANSWERS
L13 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l14
L14 NOT FOUND

	ENTRY	SESSION
FULL ESTIMATED COST	168.26	615.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-15.00

FILE 'CAPLUS' ENTERED AT 08:19:45 ON 21 SEP 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 21 Sep 2006 VOL 145 ISS 13
FILE LAST UPDATED: 20 Sep 2006 (20060920/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

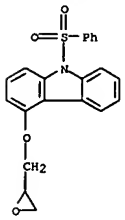
```
L10         1346 S L9 FULL

     FILE 'CAPLUS' ENTERED AT 08:14:01 ON 21 SEP 2006
L11         1346 S L10
L12           20 S L11 AND L5

     FILE 'REGISTRY' ENTERED AT 08:17:32 ON 21 SEP 2006
L13              STRUCTURE UPLOADED
L14            1 S L13
L15           20 S L13 FULL

     FILE 'CAPLUS' ENTERED AT 08:19:45 ON 21 SEP 2006
L16           15 S L15

=> s l12 and l16
L17           1 L12 AND L16

=> d ibib abs hitstr
```

L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:556143 CAPLUS
DOCUMENT NUMBER: 137:125080
TITLE: Process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temperatures and catalyst loading
INVENTOR(S): Scalone, Michelangelo; Zeibig, Thomas Albert
PATENT ASSIGNEE(S): Hoffmann-LaRoche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099223	A1	20020725	US 2002-54462	20020122
US 6777559	B2	20040817		
CA 2434408	AA	20020801	CA 2002-2434408	20020122
WO 2002059089	A2	20020801	WO 2002-EP583	20020122
WO 2002059089	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1355880	A2	20031029	EP 2002-716673	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519465	T2	20040702	JP 2002-559391	20020122
US 2004127723	A1	20040701	US 2004-763296	20040122
PRIORITY APPLN. INFO.:			EP 2001-101584	A 20010125
			US 2002-54462	A3 20020122
			WO 2002-EP583	W 20020122

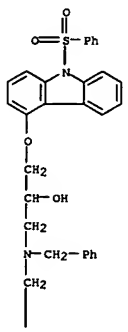
OTHER SOURCE(S): CASREACT 137:125080; MARPAT 137:125080
AB A process for the preparation heterocyclic indene analogs, especially with the preparation of 4-hydroxycarbazole or N-protected 4-hydroxycarbazole, involves cyclocarbonylation followed by saponification This process avoids high temps. and high catalyst loadings.
IT 72955-94-3P 444105-35-5P 444105-40-2P
444105-41-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)
RN 72955-94-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]- (9CI) (CA INDEX NAME)

L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



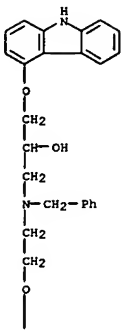
RN 444105-41-3 CAPLUS
CN 9H-Carbazole, 4-[2-hydroxy-3-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]propoxy]-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



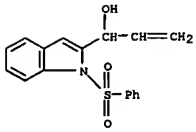
L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

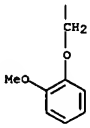
RN 444105-35-5 CAPLUS
CN 1H-Indole-2-methanol, α-ethenyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



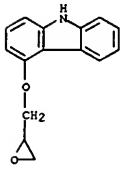
RN 444105-40-2 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)-9-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

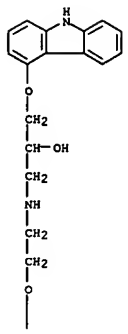


IT 51997-51-4P, 4-Oxiranylmethoxy-9H-carbazole 72956-09-3P, Carvedilol
RL: IMF (Industrial manufacture); PREP (Preparation)
(process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)
RN 51997-51-4 CAPLUS
CN 9H-Carbazole, 4-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



RN 72956-09-3 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (9CI) (CA INDEX NAME)

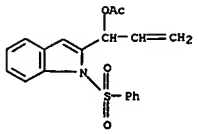
PAGE 1-A



PAGE 2-A

IT 444105-36-6P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT
(Reactant or reagent)
(process for preparing heterocyclic indene analogs by cyclocarbonylation
at moderate temps. and catalyst loading)
RN 444105-36-6 CAPLUS
CN 1H-Indole-2-methanol, α-ethenyl-1-(phenylsulfonyl)-, acetate (ester) (9CI) (CA INDEX NAME)

L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.03	621.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.75	-15.75

STN INTERNATIONAL LOGOFF AT 08:20:55 ON 21 SEP 2006